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                MEDLINE segment
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                CAS patent coverage enhanced to include exemplified
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                prophetic substances
                USPATFULL, USPAT2, and USPATOLD enhanced with new
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NEWS 18
                custom IPC display formats
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                MARPAT searching enhanced
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                USGENE now provides USPTO sequence data within 3 days
NEWS 20
        JAN 28
                of publication
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NEWS 22 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
NEWS 23 FEB 08 STN Express, Version 8.3, now available
NEWS 24 FEB 20 PCI now available as a replacement to DPCI
NEWS 25 FEB 25 IFIREF reloaded with enhancements
NEWS 26 FEB 25
                IMSPRODUCT reloaded with enhancements
                WPINDEX/WPIDS/WPIX enhanced with ECLA and current
NEWS 27 FEB 29
                U.S. National Patent Classification
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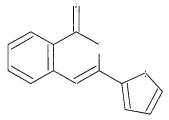
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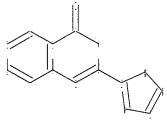
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chain nodes :

11

ring nodes :

1 2 3 4 5 6 7 8 9 10 12 13 14 15 16

chain bonds : 7-11 9-12

ring bonds :

 $1-2^{-1}$ 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-16 13-14 14-15

15-16

exact/norm bonds :

5-7 6-10 7-8 7-11 8-9 9-10

exact bonds :

9-12 12-13 12-16 13-14 14-15 15-16

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 12 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom

L1 STRUCTURE UPLOADED

=> d L1

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 17:14:10 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 211 TO ITERATE

100.0% PROCESSED 211 ITERATIONS

14 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 3349 TO 5091

PROJECTED ANSWERS: 56 TO 504

L2 14 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 17:14:14 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 3702 TO ITERATE

100.0% PROCESSED 3702 ITERATIONS 205 ANSWERS

SEARCH TIME: 00.00.01

205 SEA SSS FUL L1

=> file caplus

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FULL ESTIMATED COST

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=> s 13

43 L3

=> d l4 l- ibib abs hitstr YOU HAVE REQUESTED DATA FROM 43 ANSWERS - CONTINUE? Y/(N): Y

ANSWER 1 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2007:584604 CAPLUS

DOCUMENT NUMBER:

147:9944

TITLE:

Preparation of condensed pyrimidines, their use as serum phosphorus level-lowering agents and phosphoric acid-transport inhibitors, and their pharmaceutical

compositions

INVENTOR(S):

Eto, Nobuaki; Nagao, Rika; Sakai, Teruyuki; Kato,

Shinichiro

PATENT ASSIGNEE(S): SOURCE:

Kirin Brewery Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 108pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007131532	Α	20070531	JP 2001-303288	20010928
PRIORITY APPLN. INFO.:			JP 2001-303288	20010928

OTHER SOURCE(S):

MARPAT 147:9944

GΙ

Title compds. I [A = (un) substituted 5- to 9-membered (hetero) cyclic ring; R5 = (un) substituted C1-6 alkyl(oxy), aryl(oxy), C1-6 alkylamino, arylthio, heterocyclyl, etc.; R6, R7 = H, (un) substituted C1-6 alkyl, aryl C2-6 alkenyl, C2-6 alkynyl, aryl, heterocyclyl], their pharmacol. acceptable salts, or solvates are prepared Thus, Me 2-aminobenzoate was amidated with 3,4-dimethoxybenzoyl chloride, cyclized with NH2NH2.H2O, and reacted with trans-cinnamaldehyde to give I (A = benzene residue, R5 = 3,4-dimethoxyphenyl, R6 = H, R7 = trans-PhCH:CH), which inhibited Na-dependent phosphate transport with IC50 value of 9.11 μM.

IT 937708-14-0P 937708-15-1P 937708-16-2P
 937708-17-3P 937708-18-4P 937708-19-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of pyrimidines as phosphoric acid-transport inhibitors for treatment of diseases)

RN 937708-14-0 CAPLUS

CN 4(3H)-Quinazolinone, 6-bromo-3-[[(3-fluorophenyl)methylene]amino]-2-(2-furanyl)- (CA INDEX NAME)

RN 937708-15-1 CAPLUS

CN 4(3H)-Quinazolinone, 6-bromo-3-[[(4-fluorophenyl)methylene]amino]-2-(2-furanyl)- (CA INDEX NAME)

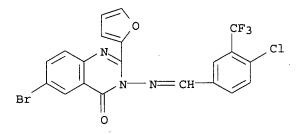
RN 937708-16-2 CAPLUS
CN 4(3H)-Quinazolinone, 6-bromo-2-(2-furanyl)-3-[[(3-methylphenyl)methylene]amino]- (CA INDEX NAME)

RN 937708-17-3 CAPLUS
CN 4(3H)-Quinazolinone, 6-bromo-2-(2-furanyl)-3-[[(4-methylphenyl)methylene]amino]- (CA INDEX NAME)

RN 937708-18-4 CAPLUS
CN 4(3H)-Quinazolinone, 6-bromo-3-[[(3,4-dimethylphenyl)methylene]amino]-2-(2-furanyl)- (CA INDEX NAME)

RN 937708-19-5 CAPLUS

CN 4(3H)-Quinazolinone, 6-bromo-3-[[[4-chloro-3-(trifluoromethyl)phenyl]methy lene]amino]-2-(2-furanyl)- (CA INDEX NAME)



L4 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:685844 CAPLUS

DOCUMENT NUMBER: 146:358790

TITLE: Synthesis and antiviral activity of quinazolinyl

sydnones

AUTHOR(S): Pandey, V. K.; Mukesh; Tandon, Meenal

CORPORATE SOURCE: Department of Chemistry, University of Lucknow, 226

007, India

SOURCE: Indian Journal of Heterocyclic Chemistry (2006),

15(4), 399-400

CODEN: IJCHEI; ISSN: 0971-1627

PUBLISHER: Prof. R. S. Varma

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:358790

Anthranilic acid on reaction with an aromatic acid chloride in presence of pyridine cyclizes to 2-aryl-4-oxo-3,1-benzoxazines, which on treatment with hydrazine hydrate in dry pyridine affords 2-aryl-3-amino-4-oxo-(3H)-quinazolines. Reaction of quinazolines with Et chloroacetate in presence of sodium acetate yields 2-aryl-4-oxo-(3H)-quinazolin-3-aminoethyl acetates, which on hydrolysis furnishes 2-aryl-4-oxo-(3H)-quinazolin-3-amino-acetic acids. Reaction of 2-aryl-4-oxo-(3H)-quinazolin-3-amino-acetic acids. with sodium nitrite and conc HCl results in 2-aryl-4-oxo-(3H)-quinazolin-nitrosoaminoacetic acids. Heating the later compds. with acetic anhydride gives N-(2-aryl-4-oxo (3H) quinazolinyl) sydnones in yields varying from 30 to 50%. The sydnone compds. were screened for their antiviral activity in vitro.

IT 929878-81-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and antiviral activity of quinazolinyl sydnones)

RN 929878-81-9 CAPLUS

CN 1,2,3-Oxadiazolium, 3-[2-(2-furanyl)-4-oxo-3(4H)-quinazolinyl]-4,5-dihydro-5-hydroxy-, inner salt (CA INDEX NAME)

IT 929878-74-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and antiviral activity of quinazolinyl sydnones)

RN 929878-74-0 CAPLUS

CN Glycine, N-[2-(2-furanyl)-4-oxo-3(4H)-quinazolinyl]-N-nitroso- (CA INDEX NAME)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2006:372612 CAPLUS

DOCUMENT NUMBER:

146:7907

TITLE:

Synthesis and biological activity of

oxo/thionotriazoloisoquinolinyl quinazolones

AUTHOR(S): Bishnoi, Abha; Saxena, Rashmi; Srivastav, Krishna;

Joshi, M. N.; Bajpai, S. K.

CORPORATE SOURCE:

Department of Chemistry, Lucknow University, Lucknow,

226007, India

SOURCE:

Indian Journal of Heterocyclic Chemistry (2006),

15(3), 307-308

CODEN: IJCHEI; ISSN: 0971-1627

PUBLISHER:

Prof. R. S. Varma

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 146:7907

2-Aryl-4-oxo-3,1-benzoxazines on reaction with p-aminobenzoic acid in dry pyridine resulted in 2-aryl-3-(4'-phenylcarboxylate)-4-oxo(3H)-quinazolines in excellent yields which on treatment with benzoin in PPA gave 2-aryl-3-(3',4'-isocoumarinyl)-4-oxo(3H)quinazolines in moderate yields of 50-65%. Interaction of quinazolinone derivs. with semicarbazide-hydrochloride/thiosemicarbazide in ethanol furnished 2-aryl-3-[(3'-oxo/thionotriazolo)[1,5c](3'-4'-diphenyl)isoquinolin-6-yl]-4-oxo-(3H)quinazolines in the yields (45-70%). The prepared compound were evaluated for their antiviral activity.

IT 915769-23-2P 915769-24-3P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);

BIOL (Biological study); PREP (Preparation)

(preparation and antiviral activity of oxo/thionotriazoloisoquinolinyl quinazolones)

RN 915769-23-2 CAPLUS

CN 1,2,4-Triazolo[3,4-a]isoquinolin-3(2H)-one, 8-[2-(2-furanyl)-4-oxo-3(4H)-quinazolinyl]-5,6-diphenyl- (CA INDEX NAME)

RN 915769-24-3 CAPLUS

CN 4(3H)-Quinazolinone, 3-(2,3-dihydro-5,6-diphenyl-3-thioxo-1,2,4-triazolo[3,4-a]isoquinolin-8-yl)-2-(2-furanyl)- (CA INDEX NAME)

IT 857538-29-5P 915769-18-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and antiviral activity of oxo/thionotriazoloisoquinolinyl quinazolones)

RN 857538-29-5 CAPLUS

CN Benzoic acid, 4-[2-(2-furanyl)-4-oxo-3(4H)-quinazolinyl]- (CA INDEX NAME)

RN915769-18-5 CAPLUS 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(1-oxo-3,4-diphenyl-1H-2-benzopyran-6yl) - (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2006:104528 CAPLUS

DOCUMENT NUMBER:

144:192275

TITLE:

Preparation of quinazolinone derivatives useful for the regulation of glucose homeostasis and food intake Rudolph, Joachim; O'Connor, Stephen; Coish, Philip; Wickens, Philip; Bondar, Georgiy; Chuang, Chih-Yuan; Ramsden, Philip; Lowe, Derek; Bierer, Donald; Chen, Libing; Fu, Wenlang; Khire, Uday; Liu, Xiao-Gao; Mcclure, Andrea; Wang, Lei; Yi, Lin; Esler, William

PATENT ASSIGNEE(S):

Bayer Pharmaceuticals Corporation, USA

SOURCE:

PCT Int. Appl., 559 pp.

INVENTOR(S):

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2006012577	A2 20060202	WO 2005-US26192	20050722
WO 2006012577	A3 20060928		
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BW, BY	, BZ, CA, CH,
CN, CO, CR,	CU, CZ, DE, DK,	DM, DZ, EC, EE, EG, ES	, FI, GB, GD,
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG, KM	, KP, KR, KZ,
LC, LK, LR,	LS, LT, LU, LV,	MA, MD, MG, MK, MN, MW	, MX, MZ, NA,
NG, NI, NO,	NZ, OM, PG, PH,	PL, PT, RO, RU, SC, SD	, SE, SG, SK,
SL, SM, SY,	TJ, TM, TN, TR,	TT, TZ, UA, UG, US, UZ	, VC, VN, YU,

ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO:

CASREACT 144:192275; MARPAT 144:192275
GI

$$\begin{array}{c|c}
R^1 & O \\
Y & N
\end{array}$$

$$\begin{bmatrix}
L-R^3
\end{bmatrix}_{q}$$

The invention is related to substituted quinazolinone derivs. I [R1 = (un)substituted pyrrolidin-3-yl, piperidin-3-yl, morpholin-4-yl, etc.; R2 = H, (un)substituted cyclo/alkyl, pyridinyl, Ph, etc.; R3 = H, halo, haloalkyl, (un)substituted Ph, alkyl, etc.; L = a bond, O, CO, S, SO2, NHSO2, NH and derivs., etc.; X = (CH2)m; m = 0-2; Y = (CH2)n; n = 1-2; p = 0-2; with provisos], and their pharmaceutically acceptable salts, and their compns., and methods for treating diabetes, obesity and related disorders, and regulation of glucose homeostasis and food intake (e.g., stimulation and suppression) (no data). The invention is also related to the preparation of quinazolinones I. Five biol. tests are given (no data). Thus, II•TFA was prepared by amination of 5-fluoro-2-nitrobenzoic acid with N-methylbutylamine, reduction of the nitro compound, cyclocondensation with

o-anisoyl chloride, reaction with tert-Bu 3-(aminomethyl)piperidine-1-carboxylate (intermediate not isolated), and Boc-deprotection in the presence of TFA.

IT 875259-42-0P, 6-(4-Chlorophenyl)-2-(3-methyl-2-furyl)-3[(piperidin-3-yl)methyl]quinazolin-4(3H)-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of quinazolinones useful for regulation of glucose homeostasis and food intake)

RN 875259-42-0 CAPLUS

CN 4(3H)-Quinazolinone, 6-(4-chlorophenyl)-2-(3-methyl-2-furanyl)-3-(3-piperidinylmethyl)- (CA INDEX NAME)

IT 875259-43-1P, 6-(4-Chlorophenyl)-3-[(1-ethylpiperidin-3-yl)methyl]2-(3-methyl-2-furyl)quinazolin-4(3H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of quinazolinones useful for regulation of glucose homeostasis and food intake)

RN 875259-43-1 CAPLUS

CN 4(3H)-Quinazolinone, 6-(4-chlorophenyl)-3-[(1-ethyl-3-piperidinyl)methyl]-2-(3-methyl-2-furanyl)- (CA INDEX NAME)

IT 875270-24-9, tert-Butyl 3-[[6-bromo-2-(3-methyl-2-furyl)-4-oxoquinazolin-3(4H)-yl]methyl]piperidine-1-carboxylate

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of quinazolinones useful for regulation of glucose homeostasis and food intake)

RN 875270-24-9 CAPLUS

1-Piperidinecarboxylic acid, 3-[[6-bromo-2-(3-methyl-2-furanyl)-4-oxo-3(4H)-quinazolinyl]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

$$R$$
 R
 $C-OBu-t$
 R
 C

L4 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1011072 CAPLUS

DOCUMENT NUMBER: 143:440366

TITLE: Synthesis and antitumor activity of

2-aryl-7-fluoro-6-(4-methyl-1-piperazinyl)-4(3H)-

quinazolinones

AUTHOR(S): Abdel-Jalil, Raid J.; Aldoqum, Hani M.; Ayoub, Mikdad

T.; Voelter, Wolfgang

CORPORATE SOURCE: Chemistry Department, Faculty of Science and Arts,

Hashemite University, Zarka, Jordan

SOURCE: Heterocycles (2005), 65(9), 2061-2070

CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:440366

AB A series of new 2-aryl-7-fluoro-6-(4-methyl-1-piperazinyl)-4(3H)-quinazolinones were prepared by the oxidative cyclization of the corresponding 2-arylidineamino-4-fluoro-5-(4-methyl-1-piperazinyl)benzamides. The new quinazolinones were evaluated for their antitumor activity in vitro and three of the compds. exhibited activity against lung, breast and/or CNS cell lines.

IT 868601-43-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antitumor activity of arylfluoro(methylpiperazinyl)quinazol inones)

RN 868601-43-8 CAPLUS

CN 4(1H)-Quinazolinone, 7-fluoro-2-(2-furanyl)-6-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:199466 CAPLUS

DOCUMENT NUMBER: 142:348143

TITLE: 3H-Quinazolin-4-ones as a new calcilytic template for

the potential treatment of osteoporosis

AUTHOR(S): Shcherbakova, Irina; Balandrin, Manuel F.; Fox, John;

Ghatak, Anjan; Heaton, William L.; Conklin, Rebecca L.

CORPORATE SOURCE: Drug Discovery, NPS Pharmaceuticals, Inc., Salt Lake

City, UT, 84108, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005),

15(6), 1557-1560

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:348143

AB Structure-activity relationship studies, focused on identification of the active pharmacophore fragments in a single high-throughput screening calcilytic hit, resulted in the discovery of potent calcium receptor

antagonists, substituted 3H-quinazolin-4-ones.

IT 328540-74-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(3H-quinazolin-4-ones preparation and structure-related potential for osteoporosis treatment)

RN 328540-74-5 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(2-phenylethyl)- (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & O \\
 & CH_2 - CH_2 - Ph
\end{array}$$

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:85958 CAPLUS

DOCUMENT NUMBER: 142:336323

TITLE: Microwave-assisted one-pot synthesis of

2,3-disubstituted 3H-quinazolin-4-ones

AUTHOR(S): Liu, Ji-Feng; Lee, Jaekyoo; Dalton, Audra M.; Bi,

Grace; Yu, Libing; Baldino, Carmen M.; McElory, Eric;

Brown, Matt

CORPORATE SOURCE: Division of Chemical Technologies, ArQule, Inc.,

Woburn, MA, 01801, USA

SOURCE: Tetrahedron Letters (2005), 46(8), 1241-1244

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:336323

AB A practical synthesis of 2,3-disubstituted 3H-quinazolin-4-ones with broad chemical scope is described. The key step is the microwave promoted one-pot, two-step reaction sequence combining anthranilic acids, carboxylic acids,

IT

and amines providing efficient access to this important class of heterocycles. Furthermore, the reaction of 2-amino-3-pyridinecarboxylic acid with benzoyl chloride and benzenemethanamine gave 2-phenyl-3-(phenylmethyl)pyrido[2,3-d]pyrimidin-4(3H)-one. 312499-61-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of (furanyl) [(methoxy)propyl]-4(3H)-quinazolinone by microwave-assisted reaction using (amino) benzoic acid, benzoyl chloride, and amine as starting materials)

RN312499-61-9 CAPLUS

4(3H)-Quinazolinone, 2-(2-furanyl)-3-(3-methoxypropyl)- (CA INDEX NAME) CN

$$(CH_2)_3$$
 OMe

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2004:412903 CAPLUS

DOCUMENT NUMBER:

140:423688

TITLE:

Preparation of quinazolinone derivatives as

calcilytics

INVENTOR(S):

Shcherbakova, Irina; Balandrin, Manuel; Fox, John; Heaton, William; Conklin, Rebecca; Papac, Damon

NPS Pharmaceuticals, Inc., USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 74 pp.

SOURCE:

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2004041755 WO 2004041755		WO 2003-US35162	20031104
W: AE, AG, AL, CO, CR, CU, GM, HR, HU, LS, LT, LU, PL, PT, RO, UG, US, UZ, RW: BW, GH, GM, BY, KG, KZ, ES, FI, FR,	AM, AT, AU, AZ, CZ, DE, DK, DM, ID, IL, IN, IS, LV, MA, MD, MG, RU, SD, SE, SG, VC, VN, YU, ZA, KE, LS, MW, MZ, MD, RU, TJ, TM, GB, GR, HU, IE,	BA, BB, BG, BR, BY, BZ, DZ, EC, EE, ES, FI, GB, JP, KE, KG, KP, KR, KZ, MK, MN, MW, MX, MZ, NO, SK, SL, TJ, TM, TN, TR, ZM, ZW SD, SL, SZ, TZ, UG, ZM, AT, BE, BG, CH, CY, CZ, IT, LU, MC, NL, PT, RO,	GD, GE, GH, LC, LK, LR, NZ, OM, PH, TT, TZ, UA, ZW, AM, AZ, DE, DK, EE, SE, SI, SK,
CA 2502302 AU 2003291761 EP 1558260 R: AT, BE, CH, IE, SI, LT, CN 1708306	A1 20040521 A1 20040607 A2 20050803 DE, DK, ES, FR, LV, FI, RO, MK, A 20051214	GA, GN, GQ, GW, ML, MR, CA 2003-2502302 AU 2003-291761 EP 2003-768655 GB, GR, IT, LI, LU, NL, CY, AL, TR, BG, CZ, EE, CN 2003-80102626 JP 2004-550482	20031104 20031104 20031104 SE, MC, PT, HU, SK 20031104

US 2006052345 A1 20060309 US 2005-531161 20050412 MX 2005PA04328 20050802 MX 2005-PA4328 20050422 Α US 2002-423663P 20021104 PRIORITY APPLN. INFO.: Ρ WO 2003-US35162 W 20031104

OTHER SOURCE(S):

MARPAT 140:423688

ΙI

GI

$$R^2$$
 R^3
 X
 N
 R^6
 R^5
 R^4
 R^6

The title compds. I [R1, R2, R3 = H, halo, CN, CF3, OCF3, alkyl, alkoxy, etc.; R4 (optional) = H, halo, CN, CF3, OCF3, alkyl, alkoxy, etc.; X = C or N; R5 = H, alkyl, furyl, thienyl, styryl, pyridyl, (substituted)phenyl; R6 = H, alkyl, or -(CH2)n-X1-R7; n= 0-2; X1 = O, CO, CHOH, alkyl, or a single bond; R7 = an aromatic group optionally substituted with 1-3 substituents selected from H, halo, CN, CF3, OCF3, alkyl, alkoxy, etc.] were prepared as calcium receptor antagonists for the treatment of bone diseases. Thus, reaction of 2-phenyl-benzo[d][1,3]oxazin-4-one (preparation given) with phenethylamine gave compound II. Methods to determine the biol. activity of the compound of this invention were demonstrated.

IT 691378-20-8P 691378-22-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazolinone derivs. as calcilytics)

RN 691378-20-8 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-[2-(4-methoxyphenyl)ethyl]- (CA INDEX NAME)

$$\bigcap_{N \longrightarrow CH_2-CH_2}^{OMe}$$

RN 691378-22-0 CAPLUS CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-[2-(2-methoxyphenyl)ethyl]- (CF INDEX NAME)

MeO MeO CH₂ - CH₂

L4 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:277414 CAPLUS

DOCUMENT NUMBER: 141:54282

TITLE: A novel method for the synthesis of

4(3H)-quinazolinones

AUTHOR(S): Abdel-Jalil, Raid J.; Voelter, Wolfgang; Saeed,

Muhammad

CORPORATE SOURCE: Faculty of Sciences and Arts, Chemistry Department,

Hashemite University, Zarka, 13133, Jordan

(

SOURCE: Tetrahedron Letters (2004), 45(17), 3475-3476

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:54282

GI

AB Condensation of anthranilamide with aryl-, alkyl-, or heteroarylaldehydes followed by heterocyclization, in the presence of CuCl2, afforded 2-substituted quinazolinones I (R = Me, Bu, Ph, 4-ClC6H4, 4-MeOC6H4, 2-Thienyl, 2-Furyl) in excellent yields.

IT 26059-84-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of quinazolinones via condensation of anthranilamide with aldehydes followed by heterocyclization)

RN 26059-84-7 CAPLUS

4(1H)-Quinazolinone, 2-(2-furanyl)- (9CI) (CA INDEX NAME) CN

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2004:205966 CAPLUS

DOCUMENT NUMBER:

142:197901

TITLE:

Product class 13: quinazolines

AUTHOR(S):

Kikelj, D.

CORPORATE SOURCE:

Germany

SOURCE:

Science of Synthesis (2004), 16, 573-749

CODEN: SSCYJ9

PUBLISHER:

Georg Thieme Verlag

DOCUMENT TYPE:

Journal; General Review

LANGUAGE:

English

A review. Preparation of quinazolines by ring closure and ring transformation

reactions as well as aromatization and substituent modification is given.

108591-77-1P 132705-70-5P IT

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of quinazolines)

108591-77-1 CAPLUS RN

5-Quinazolinecarboxylic acid, 2-(2-furanyl)-1,4-dihydro-4-oxo- (9CI) CN

INDEX NAME)

$$\begin{array}{c|c} & H & O \\ \hline & N & N \\ \hline & HO_2C & O \end{array}$$

132705-70-5 CAPLUS RN

4(1H)-Quinazolinone, 6,8-dibromo-2-(2-furanyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1014 CITED REFERENCES AVAILABLE FOR 1014 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 11 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2002:63149 CAPLUS

DOCUMENT NUMBER:

136:401281

TITLE:

Parallel fluorous biphasic synthesis of

3H-quinazolin-4-ones by an aza-Wittig reaction employing perfluoroalkyl-tagged triphenylphosphine Barthelemy, Sophie; Schneider, Siegfried; Bannwarth,

AUTHOR(S):

Willi

CORPORATE SOURCE:

Institut fur Organische Chemie und Biochemie, Universitat Freiburg, Freiburg, D-79104, Germany

SOURCE:

Tetrahedron Letters (2002), 43(5), 807-810

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal English

LANGUAGE:

CASREACT 136:401281

OTHER SOURCE(S):

A perfluoroalkyl-tagged triphenylphosphine [i.e., tris[4-(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptadecafluorodecyl)phenyl]phosphin e (I)] was applied in a fluorous biphasic system for the efficient parallel synthesis of 3H-quinazolin-4-ones via an Aza-Wittig reaction. The reaction of I with N-aroyl-N-alkyl-2-azidobenzamide derivs. gave the corresponding 2-[[tris[4-(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10heptadecafluorodecyl)phenyl]phosphoranylidene]amino]-N-aroyl-Nalkylbenzamides. These were not isolated, but converted to the corresponding quinazolinones via an aza-Wittig reaction. The products were isolated by solid-phase extraction on fluorous reversed-phase silica gel. A new solid-phase bound phosphine derivative was used for comparison and yielded similar results.

TT 256954-79-7P, 2-(2-Furanyl)-3-(phenylmethyl)-4(3H)-Quinazolinone 428817-12-3P 428817-14-5P 428817-16-7P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(preparation of fluorous biphasic combinatorial library of quinazolinone derivs. by Aza-Wittig reaction of trisheptadecafluorodecylphenylphospho ranylideneaminobenzamide intermediates)

RN 256954-79-7 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(phenylmethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} N & O \\ \hline & N \\ CH_2-Ph \end{array}$$

RN 428817-12-3 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(2-thienylmethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 428817-14-5 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-6-iodo-3-(2-thienylmethyl)- (CA INDEX NAME)

RN 428817-16-7 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-6,7-dimethoxy-3-(2-thienylmethyl)- (CA INDEX NAME)

REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2001:816643 CAPLUS

DOCUMENT NUMBER:

135:344500

TITLE:

Preparation of condensed heteroaryl derivatives as

phosphatidylinositol 3-kinase inhibitors and

anticancer agents

INVENTOR(S):

Hayakawa, Masahiko; Kaizawa, Hiroyuki; Moritomo, Hiroyuki; Kawaguchi, Ken-ichi; Koizumi, Tomonobu; Yamano, Mayumi; Matsuda, Koyo; Okada, Minoru; Ohta,

Mitsuaki

PATENT ASSIGNEE(S):

Yamanouchi Pharmaceutical Co., Ltd., Japan; Ludwig

Institute for Cancer Research; Imperial Cancer

Research Technology Ltd.

SOURCE:

PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

Japane

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

]	PAT	ENT	NO.			KIN)	DATE			APF	PLI	CAT	ION I	. 00			DATE	
	 ₩O	2001	0834	 56		 A1		2001	1108	,	 WO	20	01-	JP36	50			2001	0426
•	,,,															BZ.	CA	. CH	, CN,
		** •																	, GM,
																			, LT,
			LU.	LV.	MA.	MD.	MG.	MK.	MN.	MW.	MX	ζ,	MZ,	NO,	NZ,	PL,	PΊ	, RO	, RU,
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				ZA,	•	,			,	•		•	•	•	•	•		•	
		RW:				LS.	MW,	MZ,	SD,	SL,	SZ	Ζ,	TZ,	UG,	ZW,	ΑT,	BE	, CH	, CY,
																			, BF,
								GA,											
(CA	2407		,	•	7.1		2001	1108		CA	20	01-	2407	593	•		2001	0426
1	ΑU	2001	0526	10		A		2001 2001	1112		AU	20	01-	5261	0			2001	0426
Ţ	US	2002	1515	44 .		A1		2002	1017		US	20	01-	8436	1.5			2001	
		6608				B2		2003											
]	ΕP	1277	738					2003	0122		ĔΡ	20	01-	9259	81			2001	0426
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	₹,	IT,	LI,	LU,	NL,	SE	, MC	, PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑI	٠, اـ	TR						
	JР	3649				B2		2005						5808				2001	0426
(CN	1629				Α		2005										2001	0426
ī	US	6608	056			B1 B1		2003	0819		US	20	02-	2434	16			2002	0913
		7748	55			B1		2007 2003	1108		KR	20	02-	7144	12			2002	
Ţ	US	2003	2362 457	71		A1		2003	1225		US	20	03-	4590	02			2003	0610
Ţ	US	6838	457			B2		2005	0104										
Ţ	US	2004	0099			A1		2004	0115		US	20	03-	4592	20			2003	0610
Ţ	US	6770	641			B2		2004	0803										
Ţ	US	2005	0147			A1		2005			US	20	04-	9180	94			2004	0813
1	US	7037	915			B2 A B2		2006											
			1201	02		Α		2005	0512		JP	20	04-	3322	25			2004	1116
		3810				B2		2006											
			0583	21		A1		2006			US	20	05-	2507	82			2005	1014
		7173				В2		2007											
			0378			A1		2007	0215		US	20	06-	5441	44		_	2006	1006
PRIOR:	ΙΤΊ	APP	LN.	INFO	.:						JP	20	00-	1284	72		·A	2000	0427
																		2000	
														2004			_	2000	-
											JP	20	01-	5808	85		A3	2001	0426
											US	20	01-	8436	15		A3	2001	0426
											WO	20	1 U T - 1	J P 3 0.	50		W	Z () U T	U420
											US	20	02-	2434	16		АЗ	2002	0 A T 3

US 2003-459002

A1 20030610

US 2004-918094 US 2005-250782 A1 20040813 A1 20051014

OTHER SOURCE(S):

MARPAT 135:344500

GI

$$\begin{array}{c|c}
R^{3} \\
N-R^{2} \\
\end{array}$$

$$\begin{array}{c|c}
N \\
R^{4} \\
\end{array}$$

AB The title compds, e.g. I [n = 0 - 3; R1 = alkyl, etc.; R2, R3 = H, alkyl, etc; further detail on R2 and R3 is given; R4 = (un)substituted aryl, etc.; X = N, CH; Y = O, S, NH], are prepared Several compds. of this invention in vitro showed IC50 values of \leq 1 μM against phosphatidylinositol 3-kinase (p110 α subtype). The antitumor activity of compds. of this invention is also demonstrated.

IT 371945-94-7P 371947-00-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of condensed heteroaryl derivs. as phosphatidylinositol 3-kinase inhibitors and anticancer agents)

RN 371945-94-7 CAPLUS

CN 4(1H)-Quinazolinone, 2-(2-furanyl)-6-hydroxy- (9CI) (CA INDEX NAME)

RN 371947-00-1 CAPLUS

CN 4(1H)-Quinazolinone, 6-(acetyloxy)-2-(2-furanyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2000:299957 CAPLUS

DOCUMENT NUMBER:

133:120293

TITLE:

Mass spectrometer as a probe in the synthesis of

2-substituted-3-phenyl-4 (3H)-quinazolinones

AUTHOR (S):

Ramana, D. V.; Yuvaraj, T. Eswara

CORPORATE SOURCE:

Department of Chemistry, Indian Institute of Technology, Madras, Chennai, 600 036, India

SOURCE: Technology Indian

Indian Journal of Heterocyclic Chemistry (2000), 9(3),

173-180

CODEN: IJCHEI; ISSN: 0971-1627

PUBLISHER: Prof. R. S. Varma

DOCUMENT TYPE:

Prof. R. S. Vai Journal

LANGUAGE:

English

GΤ

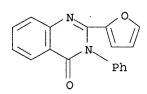
The ortho interaction of the anilide function with the N-acyl group in 2-acylaminobenzanilides 2-RCONHC6H4CONHPh (R = Ph, 2-furyl, Me, etc.) on electron impact leads to the elimination of H2O from the mol. ions, resulting in the formation of 2-substituted-3-phenyl-4-(3H)-quinazolinone radical cations. This mass spectrometric reaction has been successfully implemented in the laboratory to synthesize 4(3H)-quinazolinones I by the thermolysis of the 2-acylaminobenzanilides. The mechanisms and ion structures proposed in the mass spectral study are supported by high resolution data and Collision Activated Decomposition (CAD)-B/E linked scan spectra.

IT 62820-49-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation of phenylquinazolinones using mass spectrometry)

RN 62820-49-9 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-phenyl- (CA INDEX NAME)



REFERENCE COUNT:

9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2000:41228 CAPLUS

DOCUMENT NUMBER:

132:180246

TITLE:

Mass spectrometer as a probe in the synthesis of

2-substituted-4(3H)-quinazolinones

AUTHOR (S):

Ramana, D. V.; Sundaram, N.; Yuvaraj, T. Eswara; Babu,

B. Ganesh

CORPORATE SOURCE:

Department of Chemistry, Indian Institute of

Technology, Madras, 600 036, India

SOURCE:

Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1999),

38B(8), 905-908

CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER:

National Institute of Science Communication, CSIR

DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI

The ortho interaction of the amide function with the N-aroyl group in 2-H2NCOC6H4NHCOR (I; R = Ph, 2- and 4-C6H4Me, -C6H4OMe, -C6H4Cl and -C6H4NO2, 2-furyl, Me) on electron impact leads to H2O elimination from the mol. ions, albeit a minor process, resulting in the formation of 2-substituted-4(3H)-quinazolinone (II) radical cations. The mechanisms and ion structure proposed in the mass-spectral study are supported by high-resolution data, CAD-B/E-linked scan spectra and CAD MIKE spectra. This mass-spectrometric reaction was exploited fruitfully in the laboratory to synthesize 10 corresponding II (R \neq C6H4OMe-2) in excellent yield by pyrolysis of I.

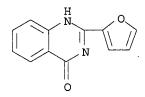
IT 26059-84-7P, 4(3H)-Quinazolinone, 2-(2-furyl)-

RL: SPN (Synthetic preparation); PREP (Preparation)

(mass spectrometer as probe in synthesis of substituted quinazolinones)

RN 26059-84-7 CAPLUS

CN 4(1H)-Quinazolinone, 2-(2-furanyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1996:161904 CAPLUS

DOCUMENT NUMBER:

124:289438

TITLE:

Synthesis of 2-substituted 3H-quinazolin-4-ones from 2,6-di-tert-butyl-1,4-benzoquinone anthranoylhydrazone

AUTHOR (S):

Komissarov, V. N.

CORPORATE SOURCE:

Rostov. Gos. Univ., Rostov-on-Don, Russia

SOURCE:

Zhurnal Organicheskoi Khimii (1995), 31(7), 1090-1

CODEN: ZORKAE; ISSN: 0514-7492

PUBLISHER:

Nauka

DOCUMENT TYPE: LANGUAGE: Journal Russian

OTHER SOURCE(S):

CASREACT 124:289438

Quinazolinones I (R = p-anisyl, 2-furyl, 3-pyridyl, 3,5-di-tert-butyl-4-AΒ hydroxyphenyl) were prepared from the title hydrazone (II) and RCHO.

IT 26059-84-7P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

26059-84-7 CAPLUS RN

4(1H)-Quinazolinone, 2-(2-furanyl)- (9CI) (CA INDEX NAME) CN

CAPLUS COPYRIGHT 2008 ACS on STN ANSWER 16 OF 43

ACCESSION NUMBER:

1994:217509 CAPLUS

DOCUMENT NUMBER:

120:217509

TITLE:

SOURCE:

Effects of a 2-substituent on the ratio of N- and

O-alkylation of 4(3H)-quinazolinones

AUTHOR (S):

Hori, Manabu; Ohtaka, Hiroshi

CORPORATE SOURCE:

New Drug Lab., Kanebo Ltd., Osaka, 534, Japan Chemical & Pharmaceutical Bulletin (1993), 41(6),

1114-17

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE:

Journal English LANGUAGE:

GΙ

Alkylation of 4(3H)-quinazolinones [I; R = H, CHMe2, CMe3, CF3, (4-methylpiperazino)methyl, NMe2, NMePh, O(CH2)4Me] with 1-iodopentane in the presence of sodium hydride gave a mixture of 3-pentyl-4(3H)-quinazolinones (II) and 4-pentyloxyquinazolines (III). The ratio of O-alkyl/N-alkyl products varied according to the 2-substituents of the quinazoline ring. Multiple regression analyses revealed that the ratio was determined by a steric factor (width parameter of B) and an electronic factor (in terms of Hammett's σ P) of the 2-substituent. It was also the case in the reported alkylation of 4(3H)-quinazolinones with propargyl bromide.

IT 26059-84-7

RL: RCT (Reactant); RACT (Reactant or reagent)
 (multiple regression anal. of substituent effect on ratio of N to O
 alkylation of)

RN 26059-84-7 CAPLUS

CN 4(1H)-Quinazolinone, 2-(2-furanyl)- (9CI) (CA INDEX NAME)

IT 26059-92-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, in multiple regression anal. of substituent effect on ratio of N to O alkylation of quinazolinones)

RN 26059-92-7 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(2-propynyl)- (9CI) (CA INDEX NAME)

SOURCE:

L4 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:625901 CAPLUS

DOCUMENT NUMBER: 119:225901

TITLE: Bis-azaheterocycles. Part I. Synthesis of

3,3'-bisquinazolin-4,4'-diones

AUTHOR(S): Reddy, P. S. N.; Bhavani, A. K.

CORPORATE SOURCE: Dep. Chem., Osmania Univ., Hyderabad, 500 007, India

Indian Journal of Chemistry, Section B: Organic

Chemistry Including Medicinal Chemistry (1992),

31B(11), 740-4

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 1,2-Bis(2-aminobenzoyl)hydrazine reacts with RCO2H (R = H, Me, Et, n-Pr, CHMe2, n-Bu, n-pentyl) to yield 2,2'-dialkyl-3,3'-bisquinazoline-4,4'-diones I (R1 = R). Extension of this reaction to ArCHO (Ar = 2-O2NC6H4, 2-ClC6H4, Ph, 2-furyl, 4-MeC6H4, etc.) give a mixture of 2,2'-diaryltetrahydro-3,3'-bisquinazoline-4,4'-diones II and 1,2-bis(2-arylideneaminobenzoyl)hydrazines 2-ArCH:NC6H4CONHNHCOC6H4N:CHAr (III). Permanganate oxidation of II/III give I (R1 = Ar) in excellent yields.

IT 150614-60-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 150614-60-1 CAPLUS

CN [3,3'(4H,4'H)-Biquinazoline]-4,4'-dione, 2,2'-di-2-furanyl- (CA INDEX NAME)

L4 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:83625 CAPLUS

DOCUMENT NUMBER: 116:83625

TITLE: An expeditious synthesis of 2-aryl- and

2-alkylquinazolin-4(3H)-ones

AUTHOR(S): Couture, Axel; Cornet, Helene; Grandclaudon, Pierre

CORPORATE SOURCE: Lab. Chim. Org. Phys., Univ. Sci. Tech. Lille Flandres-Artois, Villeneuve d'Ascq, F-59655, Fr.

SOURCE: Synthesis (1991), (11), 1009-10

CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 116:83625

GI

NH R T

AB 2-Aryl- and alkylquinazolinones I (R = Me, cyclohexyl, styryl, 2-furyl, 2-thienyl, substituted Ph) are readily accessible by reaction of

o-LinhC6H4CONEt2 with RCN.

IT 26059-84-7P

RN 26059-84-7 CAPLUS

CN 4(1H)-Quinazolinone, 2-(2-furanyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:583223 CAPLUS

DOCUMENT NUMBER: 115:183223

TITLE: Synthesis, HMO-treatment, and some reactions of

6,8-dibromo-2-(2'-furyl)-3,1-benzoxazin-4(4H)-one El-Khamry, Abdel Momen A.; Habashy, M. M.; El-Nagdy,

S.; El-Bassiouny, F. A.

CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt

SOURCE: Acta Chimica Hungarica (1990), 127(3), 423-31

CODEN: ACHUDC; ISSN: 0231-3146

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:183223

GI

AUTHOR (S):

AB Reactions of 6,8-dibromo-2-(2-furyl)-3,1-benzoxazin-4(4H)-one (I, X = 0) with different N and C nucleophiles have been performed. The exptl. findings highlighted the role of the furyl group in the mode of reaction and showed complete accordance with the theor. predicted activities based on the simple HMO method. Some of the prepared compds., I (X = 0, NH, NOH, NH2) and II (R = OH, NHNH2, NHCH2Ph, piperidino, morpholino), were tested for bactericidal activity, but were inactive.

IT 132705-70-5P 132705-71-6P 132705-72-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal activity of)

RN 132705-70-5 CAPLUS

CN 4(1H)-Quinazolinone, 6,8-dibromo-2-(2-furanyl)- (9CI) (CA INDEX NAME)

RN 132705-71-6 CAPLUS

CN 4(3H)-Quinazolinone, 6,8-dibromo-2-(2-furanyl)-3-hydroxy- (CA INDEX NAME)

RN 132705-72-7 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-6,8-dibromo-2-(2-furanyl)- (CA INDEX NAME)

IT 132705-73-8P 132705-74-9P 132705-75-0P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 132705-73-8 CAPLUS

CN Glycine, N-[6,8-dibromo-2-(2-furanyl)-4-oxo-3(4H)-quinazolinyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 132705-74-9 CAPLUS

CN Butanamide, N-[6,8-dibromo-2-(2-furanyl)-4-oxo-3(4H)-quinazolinyl]-3-oxo-(CA INDEX NAME)

RN 132705-75-0 CAPLUS

CN 4(3H)-Quinazolinone, 6,8-dibromo-2-(2-furanyl)-3-[[(4-methoxyphenyl)methylene]amino]- (CA INDEX NAME)

L4 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:459081 CAPLUS

DOCUMENT NUMBER: 113:59081

TITLE: Syntheses based on furancarboxylic acid amides. 1.

Synthesis and structure of 2-(5-R-2-furyl)-4-

oxoquinazolines

AUTHOR(S): Kozlovskaya, I. N.; Badovskaya, L. A.; Zavodnik, V.

E.; Tyukhteneva, Z. I.

CORPORATE SOURCE: Krasnodar, Politekh. Inst. Krasnodar, 350072, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1989), (11),

1463-6

CODEN: KGSSAQ; ISSN: 0453-8234

DOCUMENT TYPE: Journal LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 113:59081

GI

AB Cyclocondensation of furancarboxamides I (R = H, Me, Ph, Br, iodo, NO2, 4-BrC6H4, 4-O2NC6H4) with anthranilic acid in the presence of POCl3 1 h at 100° gave 62-98% quinazolinones II whose (R = H) crystal and mol. structure was confirmed by x-ray anal.

IT 6023-96-7P 26059-84-7P 128373-25-1P 128373-26-2P 128373-27-3P 128373-28-4P

128373-29-5P 128373-30-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 6023-96-7 CAPLUS

CN 4(1H)-Quinazolinone, 2-(5-nitro-2-furanyl)- (9CI) (CA INDEX NAME)

RN 26059-84-7 CAPLUS

CN 4(1H)-Quinazolinone, 2-(2-furanyl)- (9CI) (CA INDEX NAME)

RN 128373-25-1 CAPLUS CN 4(1H)-Quinazolinone, 2-(5-methyl-2-furanyl)- (9CI) (CA INDEX NAME)

RN 128373-26-2 CAPLUS CN 4(1H)-Quinazolinone, 2-(5-phenyl-2-furanyl)- (9CI) (CA INDEX NAME)

RN 128373-27-3 CAPLUS CN 4(1H)-Quinazolinone, 2-(5-bromo-2-furanyl)- (9CI) (CA INDEX NAME)

RN 128373-28-4 CAPLUS CN 4(1H)-Quinazolinone, 2-(5-iodo-2-furanyl)- (9CI) (CA INDEX NAME)

128373-29-5 CAPLUS RN

4(1H)-Quinazolinone, 2-[5-(4-bromophenyl)-2-furanyl]- (9CI) (CA INDEX CN NAME)

128373-30-8 CAPLUS RN

4(1H)-Quinazolinone, 2-[5-(4-nitrophenyl)-2-furanyl]- (9CI) (CA INDEX CN

ANSWER 21 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1988:406539 CAPLUS

DOCUMENT NUMBER:

TITLE:

Quinazolin-4-one derivatives as drugs, agrochemicals,

or fluorescent substances and a process for their

preparation

INVENTOR(S):

Terakawa, Masaaki

Agency of Industrial Sciences and Technology, Japan PATENT ASSIGNEE(S):

SOURCE:

Jpn. Kokai Tokkyo Koho, 4 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
JP 62258368	Α	19871110	JP 1986-52071	19860310	
JP 05039950	В	19930616			
PRIORITY APPLN. INFO.:			JP 1986-52071	19860310	
OTHER SOURCE(S):	CASREA	CT 109:6539			

GI

The title compds. I [R1 = (un)substituted alkyl, aryl, aralkyl or heterocyclyl; R2 = R1, H, halo, NO2, HOCH2], useful as drugs, agrochems., or fluorescent substances (no data), were prepared from II (R3 = OH, alkoxy, NH2). A 1:2:5.9 (mol) mixture of o-H2NC6H4CO2Me, Me3CCN, and MeOH in a teflon capsule placed in an high pressure reactor was pressurized to 7000 atm and heated to 140°, the pressure was raised to 8000 atm and the mixture was kept 20 h to give 86% I (R1 = Me3C, R2 = H).

IT 26059-84-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as drug, agrochem. or fluorescent substance)

RN 26059-84-7 CAPLUS

CN 4(1H)-Quinazolinone, 2-(2-furanyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:496675 CAPLUS

DOCUMENT NUMBER: 107:96675

TITLE: 2-Aryl-4(3H)-quinazolinone-5-carboxylic acids

AUTHOR(S): Caswell, Lyman R.; Chao, Alice Huey Mei

CORPORATE SOURCE: Dep. Chem., Texas Woman's Univ., Denton, TX, 76204,

USA

SOURCE: Journal of Chemical and Engineering Data (1987),

32(3), 389-90

CODEN: JCEAAX; ISSN: 0021-9568

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:96675

GI

NH RCONH O NH NH CO2H O II

AB Twelve title compds. I [R = Ph, 4-MeOC6H4, 2-MeOC6H4, 4-ClC6H4, 4-MeC6H4, 3-FC6H4, 3,5-(O2N)2C6H3, 2-furyl, etc.] were prepared in 21-85% yields by rearrangement of (aroylamino)phthalimides II in 1N KOH. The rearrangement is inhibited by ortho substituents on the aroyl group.

IT 108591-77-1P

RN 108591-77-1 CAPLUS

CN 5-Quinazolinecarboxylic acid, 2-(2-furanyl)-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & O \\ \hline & N & \\ HO_2C & O \end{array}$$

L4 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1986:572420 CAPLUS

DOCUMENT NUMBER:

105:172420

ORIGINAL REFERENCE NO.:

105:27793a,27796a

TITLE:

A new synthesis of 2-aryl-3,4-dihydro-5H-1,3,4-

benzotriazepin-5-ones

AUTHOR(S):

Reddy, C. K.; Reddy, P. S. N.; Ratnam, C. V.

CORPORATE SOURCE: SOURCE:

Dep. Chem., Osmania Univ., Hyderabad, 500 007, India Indian Journal of Chemistry, Section B: Organic

Chemistry Including Medicinal Chemistry (1985),

24B(9), 902-4

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 105:172420

GI

AB The reaction of 2-aryl-3,1-benzoxazine-4-ones I (R = H, Me, OMe, NO2, Cl) and hydrazine hydrate in refluxing xylene yielded 2-aryl-3,4-dihydro-5H-1,3,4-benzotriazepin-5-ones II in 55-74% yield. In basic conditions I yielded 2-aryl-3-aminoquinazolin-4(3H)-ones III. The mechanism of these reactions are discussed.

IT 104830-72-0P

RN 104830-72-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-(2-furanyl)- (CA INDEX NAME)

L4 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1985:78178 CAPLUS

DOCUMENT NUMBER:

102:78178

ORIGINAL REFERENCE NO.:

102:12249a,12252a

TITLE:

Studies in organic mass spectrometry. IV. Electron

impact induced fragmentation of 2-substituted

3-(5-isoxazolyl)-4(3H)-quinazolinones of

pharmaceutical interest

AUTHOR (S):

Ceraulo, Leopoldo; Plescia, Salvatore; Daidone,

Giuseppe; Bajardi, Maria Luisa

CORPORATE SOURCE:

Ist. Chim. Farm. Tossicol., Univ. Palermo, Palermo,

90123, Italy

SOURCE:

Journal of Heterocyclic Chemistry (1984), 21(4),

1209-13

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE:

Journal

LANGUAGE:

English

GΙ

R1 Me

AB The electron impact mass spectra of 13 title compds. I (R = H, Me, Et, Me2CH, Ph, substituted Ph, 2-furyl; R1 = H, Ph) were investigated with the aid of metastable ion detection and high resolution measurements. The major breakdown processes occurred because of isoxazole ring lability upon electron impact.

IT 90059-44-2

RL: PRP (Properties)
 (mass spectrum of)

Ι

RN 90059-44-2 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(3-methyl-5-isoxazolyl)- (CA INDEX NAME)

L4 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1984:191825 CAPLUS

DOCUMENT NUMBER:

100:191825

ORIGINAL REFERENCE NO.:

100:29163a,29166a

TITLE:

3-Isoxazolyl-substituted 4(3H)-quinazolinones of

pharmaceutical interest

AUTHOR(S):

Plescia, S.; Daidone, G.; Ceraulo, L.; Bajardi, M. L.;

Reina, R. Arrigo

CORPORATE SOURCE:

Ist. Chim. Farm. Tossicol., Univ. Palermo, Palermo,

Italy

SOURCE:

Farmaco, Edizione Scientifica (1984), 39(2), 120-4

CODEN: FRPSAX; ISSN: 0430-0920

DOCUMENT TYPE:

Journal

LANGUAGE:

Italian

OTHER SOURCE(S):

CASREACT 100:191825

GI

AB Anthranilamides I (R = alkyl; Ph; chloro-, nitro-, or methylphenyl; furyl) were converted to quinazolinones II, useful as analgesics and antiinflammatory and body temperature-lowering agents (no data). Thus, I (R = Pr) was heated with POCl3 and some water to give II (R = Pr). Anthranilic acid N-(3-methyl-5-isoxazolyl)amide was acylated by RCOCl in pyridine to yield I.

IT 90059-44-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 90059-44-2 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(3-methyl-5-isoxazolyl)- (CA INDEX NAME)

L4 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1983:34559 CAPLUS

DOCUMENT NUMBER:

98:34559

ORIGINAL REFERENCE NO.:

98:5409a,5412a

TITLE:

Synthesis and reactions of 2-furyl-3,1-benzothiazine-

4(H)-thione, 2-furyl-4(3H)-quinazolinone and

2-furyl-3,1-benzoxazin-4(H)-one

AUTHOR(S):

SOURCE:

Essawy, A.

CORPORATE SOURCE:

Fac. Sci., Zagazig Univ., Zagazig, Egypt

Revue Roumaine de Chimie (1982), 27(3), 415-21

CODEN: RRCHAX; ISSN: 0035-3930

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S): CASREACT 98:34559

AB 2-Furyl-3,1-benzoxazin-4-one reacted with AcCH2CO2Et, CH2(CN)2, hydrazines, morpholine, primary aliphatic amines, primary aromatic amines, Grignard reagents, NaN3, HCONH2, and P2S5 to give various products. 2-Furyl-4(3H)-quinazolinone undergoes reaction with POCl3, Me2SO4, ClCH2CO2Et, BrCHMeCO2Et and with CH2O and piperidine or morpholine. 2-Furyl-3,1-benzothiazine-4(H)-thione reacts with Grignard reagents, hydrazines, amines, NH2OH and Cu bronze.

IT 26059-84-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
 (preparation and reactions of)

RN 26059-84-7 CAPLUS

CN 4(1H)-Quinazolinone, 2-(2-furanyl)- (9CI) (CA INDEX NAME)

IT 35868-41-8P 62820-50-2P 62820-55-7P 62820-61-5P 84141-42-4P 84155-09-9P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 35868-41-8 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(4-methoxyphenyl)- (CA INDEX NAME)

RN 62820-50-2 CAPLUS CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(4-methylphenyl)- (CA INDEX NAME)

RN 62820-55-7 CAPLUS CN 4(3H)-Quinazolinone, 3-(2-chlorophenyl)-2-(2-furanyl)- (CA INDEX NAME)

RN 62820-61-5 CAPLUS CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(3-nitrophenyl)- (CA INDEX NAME)

$$R = \left\langle \begin{array}{c} \\ \\ \\ \\ \end{array} \right\rangle$$

RN 84141-42-4 CAPLUS CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(1-piperidinylmethyl)- (CA INDEX NAME)

RN 84155-09-9 CAPLUS CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(4-morpholinylmethyl)- (CA INDEX NAME)

$$\mathbb{R}$$

L4 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1977:183805 CAPLUS

DOCUMENT NUMBER:

86:183805

ORIGINAL REFERENCE NO.:

86:28789a,28792a

TITLE:

Search for physiologically active compounds: Part

XXVIII. Synthesis of 7-chloro-2-methyl- and

2-(2-furyl)-3-aryl-4-quinazolones

AUTHOR (S):

Seshavataram, S. K. V.; Rao, N. V. Subba Dep. Chem., Osmania Univ., Hyderabad, India

CORPORATE SOURCE: SOURCE:

Proceedings - Indian Academy of Sciences, Section A

(1977), 85(2), 81-9

CODEN: PISAA7; ISSN: 0370-0089

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB A number of arylquinazolone derivs. were synthesized by condensing N-acyl anthranilic acids with primary aromatic amines, and the quinazolone derivs. were then tested for their antibacterial, antifungal, and piscicidal activities. The relations of mol. structure to the different biol. activities are discussed, and the most active compds. are indicated.

IT 35868-41-8 62820-49-9 62820-50-2

62820-51-3 63314-19-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(antibacterial and piscicidal activity of)

RN 35868-41-8 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(4-methoxyphenyl)- (CA INDEX NAME)

RN 62820-49-9 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-phenyl- (CA INDEX NAME)

RN 62820-50-2 CAPLUS CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(4-methylphenyl)- (CA INDEX NAME)

RN 62820-51-3 CAPLUS CN 4(3H)-Quinazolinone, 3-(4-ethoxyphenyl)-2-(2-furanyl)- (CA INDEX NAME)

RN 63314-19-2 CAPLUS CN 4(3H)-Quinazolinone, 3-(4-chlorophenyl)-2-(2-furanyl)- (CA INDEX NAME)

IT 62820-52-4P 62820-53-5P 62820-54-6P 62820-55-7P 62820-56-8P 62820-57-9P 62820-58-0P 62820-59-1P 62820-60-4P 62820-61-5P 62820-62-6P 62820-63-7P RL: PREP (Preparation) (preparation and antibacterial and piscicidal activity of)

RN 62820-52-4 CAPLUS CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(2-methylphenyl)- (CA INDEX NAME)

RN 62820-53-5 CAPLUS CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(3-methylphenyl)- (CA INDEX NAME)

$$R - \sqrt{\bigcup_{O}}$$

RN 62820-54-6 CAPLUS CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(2-methoxyphenyl)- (CA INDEX NAME)

RN 62820-55-7 CAPLUS CN 4(3H)-Quinazolinone, 3-(2-chlorophenyl)-2-(2-furanyl)- (CA INDEX NAME)

RN 62820-56-8 CAPLUS CN 4(3H)-Quinazolinone, 3-(2,4-dichlorophenyl)-2-(2-furanyl)- (CA INDEX NAME)

$$\begin{array}{c|c}
N & R \\
N & R2
\end{array}$$

$$R - \left\langle \begin{array}{c} \\ \\ \\ \end{array} \right\rangle$$

RN 62820-57-9 CAPLUS CN 4(3H)-Quinazolinone, 3-(3,4-dichlorophenyl)-2-(2-furanyl)- (CA INDEX NAME)

RN 62820-58-0 CAPLUS CN 4(3H)-Quinazolinone, 3-(2,5-dichlorophenyl)-2-(2-furanyl)- (CA INDEX NAME) 10/ 567,660

$$R - \left\langle \begin{array}{c} \\ \\ \\ \\ \end{array} \right\rangle$$

RN 62820-59-1 CAPLUS CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(2,4,6-tribromophenyl)- (CA INDEX NAME)

RN 62820-60-4 CAPLUS CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(2-nitrophenyl)- (CA INDEX NAME)

RN 62820-61-5 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(3-nitrophenyl)- (CA INDEX NAME)

$$R = \left(\begin{array}{c} \\ \\ \\ \\ \end{array} \right)$$

RN 62820-62-6 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(4-nitrophenyl)- (CA INDEX NAME)

RN 62820-63-7 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(4-methyl-2-nitrophenyl)- (CA INDEX NAME)

L4 ANSWER 28 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1976:421438 CAPLUS

DOCUMENT NUMBER: 85:21438

ORIGINAL REFERENCE NO.: 85:3509a,3512a

TITLE: Substituted 2-arylquinazolines as fungicides

INVENTOR(S): Harnish, Wayne N.; Ramsey, Arthur A.

PATENT ASSIGNEE(S): FMC Corp., USA

SOURCE: U. S. Publ. Pat. Appl. B, 7 pp.

CODEN: USXXDP

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				
US 450870	15	19760316	US 1974-450870	19740313
US 3998951	Α	19761221		
PRIORITY APPLN. INFO.:			US 1974-450870 A	19740313
GI				

AB 2-Aryl-4-chloroquinazolines (I, R = p-Me, H, p-,m-,o-Cl, p-Me3C, p-Et, o-Me, p-EtO), useful as fungicides against bean powdery mildew, bean rust, rice blast, and angular leaf spot of cucumber, were prepared by chlorination of the corresponding quinazolinones with SOCl2 in DMF. The starting quinazolinones were prepared by treatment of o-aminobenzamide with a benzoyl chloride followed by base-catalyzed cyclization.

IT 26059-84-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and chlorination of)

Ι

RN 26059-84-7 CAPLUS

4(1H)-Quinazolinone, 2-(2-furanyl)- (9CI) (CA INDEX NAME) CN

ANSWER 29 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1972:535129 CAPLUS

DOCUMENT NUMBER:

77:135129

ORIGINAL REFERENCE NO.:

77:22177a,22180a

TITLE:

Pharmacology of some new 4-(3H) quinazolinones. II.

Effect on reproduction, blood pressure, and

respiration

AUTHOR(S):

SOURCE:

Saksena, S. K.; Somasekhara, S.

CORPORATE SOURCE:

Sarabhai Res. Cent. Wadi Wadi, Baroda, India

Indian Journal of Medical Research (1913-1988) (1972),

60(2), 284-6

CODEN: IJMRAQ; ISSN: 0019-5340

DOCUMENT TYPE:

Journal

LANGUAGE: English

Among 20 quinazolinones fed to rats at 30.0 mg/kg/day on days 1-7 of

pregnancy, 2-methyl-3-(4-hydroxy-2-methylphenyl)-4(3H)-quinazolinone (I) [5060-52-6] showed the greatest antifertility activity, causing 60% inhibition of pregnancy. 2-Methyl-3-(2-hydroxy-4-methylphenyl)-4(3H)quinazolinone [36556-91-9] inhibited pregnancy by 40%, and 3 other compds. by 20%.

38781-86-1P 38781-87-2P IT

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 38781-86-1 CAPLUS

4(3H)-Quinazolinone, 2-(2-furanyl)-3-(4-pyridinyl)- (CA INDEX NAME) CN

38781-87-2 CAPLUS RN

4(3H)-Quinazolinone, 2-(2-furanyl)-3-(2-pyridinyl)- (CA INDEX NAME) CN

ANSWER 30 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1972:530626 CAPLUS

DOCUMENT NUMBER:

77:130626

ORIGINAL REFERENCE NO.:

77:21487a,21490a

TITLE:

Quinazoline diuretics

INVENTOR(S):

Robba, Max Fernand; Marcy, Rene Henri Pierre; Duval,

Denise Jeanne Claude

PATENT ASSIGNEE(S):

Innothera

SOURCE:

Fr. Demande, 9 pp.

CODEN: FRXXBL

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
FR 2077804	A5	19711105	FR 1970-5372		19700216
FR 2077804	B1	19730316			
PRIORITY APPLN. INFO.:			FR 1970-5372	A	19700216
GI For diagram(s), see	printe	d CA Issue.			

10/ 567,660

AB 2-(2-Furyl)-3,4-dihydro-4-quinazolinone (I) is prepared by heating 2-furanthio-carboxamide with anthranilic acid to 150-60°. I and its alkaline salts are diuretics. Detailed toxicol. and pharmacol. data given.

IT 26059-84-7 38950-31-1 38950-32-2 38950-33-3 RL: BIOL (Biological study) (diuretic)

RN 26059-84-7 CAPLUS

CN 4(1H)-Quinazolinone, 2-(2-furanyl)- (9CI) (CA INDEX NAME)

RN 38950-31-1 CAPLUS CN 4(1H)-Quinazolinone, 2-(2-furanyl)-, lithium salt (9CI) (CA INDEX NAME)

$$\bigcap_{O} \bigcap_{N} \bigcap_{O}$$

. 🛡 Li

RN 38950-32-2 CAPLUS CN 4(1H)-Quinazolinone, 2-(2-furanyl)-, sodium salt (9CI) (CA INDEX NAME)

Na

RN 38950-33-3 CAPLUS CN 4(1H)-Quinazolinone, 2-(2-furanyl)-, potassium salt (9CI) (CA INDEX NAME)

• к

ANSWER 31 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1972:94460 CAPLUS

DOCUMENT NUMBER:

76:94460

ORIGINAL REFERENCE NO.:

76:15145a,15148a

TITLE:

Pharmacology of some new 4-(3H)-quinazolinones. I.

Effect on reproduction, blood pressure, and

respiration

AUTHOR (S):

Saksena, S. K.; Nadkarni, A. S.; Dighe, V. S.;

Somasekhara, S.

CORPORATE SOURCE:

Sarabhai Res. Cent., Baroda, India

SOURCE:

Indian Journal of Medical Research (1913-1988) (1971),

59(7), 1109-12 CODEN: IJMRAQ; ISSN: 0019-5340

DOCUMENT TYPE:

Journal English

LANGUAGE: Of 22 4(3H)-quinazolinones fed orally at 30 mg/kg to rats from days 1 to 7 of pregnancy, only 2-(p-anisyl)-3-isopropyl-3,4-dihydroquinazolin-4-one (I) [32700-76-8] inhibited pregnancy significantly (60%). The closely related mol. 3-isopropyl-2-(3,4,5-trimethoxyphenyl)-3,4-dihydroquinazolin-4-one [34388-22-2] did not show any detectable antifertility activity and only 5 other 4(3H)-quinazolinones inhibited pregnancy but only by 20%. None of the compds. showed estrogenic or antiestrogenic activity in immature rats at 30 mg/kg. Blood pressure and respiration studies in dogs revealed no significant effects when the compds. were injected i.v. at 5.0 mg/kg.

35868-41-8 IT

1.4

RL: BIOL (Biological study)

(pharmacology)

35868-41-8 CAPLUS RN

4(3H)-Quinazolinone, 2-(2-furanyl)-3-(4-methoxyphenyl)- (CA INDEX NAME) CN

ACCESSION NUMBER:

1970:466536 CAPLUS

DOCUMENT NUMBER:

73:66536

ORIGINAL REFERENCE NO.:

AUTHOR (S):

73:10899a,10902a

TITLE:

Medicinal chemistry of oxoquinazolines. VII. Synthesis and pharmacology of some 4-oxoquinazolines

and related 4-propargyloxyquinazolines and open amides Kronberg, Leif; Bogentoft, Conny; Westerlund, Douglas;

Danielsson, Bengt; Ljungberg, Stellan; Paalzow,

CORPORATE SOURCE:

Dep. Org. Chem., Farmaceut. Fak., Stockholm, Swed.

SOURCE:

Acta Pharmaceutica Suecica (1970), 7(1), 37-46

CODEN: APSXAS; ISSN: 0001-6675

DOCUMENT TYPE:

Journal

LANGUAGE:

English

For diagram(s), see printed CA Issue. GT

The chemistry and pharmacol. of 26 4-oxoquinazolines and related compds. AB were studied. I (R = p-ClC6H4, R1 = R2 = H) showed a small anticonvulsant activity. I (R = CH:CHPh or 2-furyl; R1 = R2 = H; or R = Ph, R1 = R2 = Cl) and II had significant antidiuretic activity, while I (R = CH2Ph or CH2CH2PH; R1 = R2 = H; or R = Ph, R1 = Cl, R2 = H) had significant diuretic activity. No correlation was found between the antidiuretic and analgesic activities of the 4 antidiuretics. I (R = Ph, R1 = R2 = H) possessed sedative and spasmolytic activities.

TΤ 26059-92-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmacology of)

RN 26059-92-7 CAPLUS

4(3H)-Quinazolinone, 2-(2-furanyl)-3-(2-propynyl)- (9CI) (CA INDEX NAME)

ANSWER 33 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

CORPORATE SOURCE:

1970:11916 CAPLUS

DOCUMENT NUMBER:

72:11916

ORIGINAL REFERENCE NO .:

72:2149a,2152a

TITLE:

Medicinal chemistry of oxoquinazolines. IV. N- and

O-alkylation of some 2-substituted

3,4-dihydro-4-oxoquinazolines

AUTHOR (S):

Bogentoft, Conny; Kronberg, Leif; Danielsson, Bengt

Farm. Fak., Stockholm, Swed.

SOURCE:

Acta Pharmaceutica Suecica (1969), 6(4), 489-500

CODEN: APSXAS; ISSN: 0001-6675

DOCUMENT TYPE:

Journal

LANGUAGE:

English

The influence of various substituents at C-2 of the quinazoline system on the relative yields of N-and O-alkylated products upon alkylation of 3, 4-dihydro-4-oxoquinazolines in HCONMe2-NaH has been studied using gas chromatog. A few alkylations of 3-phenylisocarbostyril were also studied. Most of the results are possible to explain in terms of steric hindrance. The influence of the orientation of a benzene ring, attached to C-2 of the quinazoline is also discussed.

26059-84-7 IT

RL: RCT (Reactant); RACT (Reactant or reagent) (alkylation of)

26059-84-7 CAPLUS RN

4(1H)-Quinazolinone, 2-(2-furanyl)- (9CI) (CA INDEX NAME) CN

26059-92-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 26059-92-7 CAPLUS

4(3H)-Quinazolinone, 2-(2-furanyl)-3-(2-propynyl)- (9CI) (CA INDEX NAME) CN

ANSWER 34 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

1969:3895 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 70:3895

ORIGINAL REFERENCE NO.: 70:721a,724a

Studies on heteroaromaticity. XVI. Further studies TITLE: on the thermal 1,3-dipolar cycloaddition reactions of

some aromatic hydroxamoyl chlorides

Sasaki, Tadashi; Yoshioka, Toshiyuki AUTHOR (S): CORPORATE SOURCE: Nagoya Univ., Nagoya, Japan

Bulletin of the Chemical Society of Japan (1968), SOURCE:

41(9), 2206-10

CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE: Journal

English LANGUAGE:

CASREACT 70:3895 OTHER SOURCE(S):

The thermal 1,3-dipolar cycloaddn. of 5-nitro-2-furyl-, phenyl-, p-nitrophenyl-, and m-nitro-phenylhydroxyamoyl chloride to Ph3P, MeCN, PhCN, aromatic aldehydes, quinones, anthranilates, and olefins was examined These thermal 1,3-dipolar cycloaddns. have more versatile applicability than those using the corresponding nitrile oxides. The reaction proceeds with evolution of HCl which is a convenient clue for determining the end-point

of the reaction except when basic dipolarophiles are used.

20844-55-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

20844-55-7 CAPLUS RN

4(3H)-Quinazolinone, 3-hydroxy-2-(5-nitro-2-furyl)- (8CI) (CA INDEX NAME) CN

L4 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1966:104206 CAPLUS

DOCUMENT NUMBER: 64:104206 ORIGINAL REFERENCE NO.: 64:19608c-d

TITLE: Nitrofuryl heterocycles. IV. 4-Amino-2-(5-nitro-2-

furyl)quinazoline derivatives

AUTHOR(S): Burch, Homer A.

CORPORATE SOURCE: Chem. Div., Norwich Pharmacal Co., Norwich, NY

SOURCE: Journal of Medicinal Chemistry (1966), 9(3), 408-10

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 64:104206

AB cf. CA 64, 19596c. Thirty-five 4-(substituted amino)-2-(5-nitro-2-furyl)quinazolines were prepared and found to possess broad in vitro antibacterial activity against a variety of organisms. Several compds. were also active in vivo against Staphylococcus aureus infections. The most active compound contained the 4-bis(2-hydroxyethyl)amino group. A new mol. grouping responsible for enhancing the antibacterial activity of nitrofurans is postulated.

IT 6023-96-7P, 4(3H)-Quinazolinone, 2-(5-nitro-2-furyl)-

RL: PREP (Preparation) (preparation of) 6023-96-7 CAPLUS

RN 6023-96-7 CAPLUS CN 4(1H)-Quinazolinone, 2-(5-nitro-2-furanyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1961:144241 CAPLUS

DOCUMENT NUMBER: 55:144241

ORIGINAL REFERENCE NO.: 55:27338g-i,27339a-i,27340a-b

TITLE: Preparation of derivatives of trimethoxybenzene AUTHOR(S): Dallacker, F.; Meunier, Edith; Limpens, J.; Lipp,

Maria

CORPORATE SOURCE: Tech. Hochschule, Aachen, Germany

SOURCE: Monatshefte fuer Chemie (1960), 91, 1077-88

CODEN: MOCMB7; ISSN: 0026-9247

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 55:144241

AB An aqueous NaOH solution of 3,4,5-(MeO)3C6H2CO2H with excess Me2SO4 at

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50-60° gave 75% 3,4,5-(MeO)3C6H2CO2Me, b10 166-7°, m.
    83°, nitrated at 0° with HNO3 (d. 1.42) in Ac2O to give 55\%
    2,3,4,5-O2N (MeO) 3C6HCO2Me (I), yellow needles, m. 67° (ligroine).
    Reduction of I in AcOH (Raney Ni) gave 75-80% of the Me ester (II), b1.5
    138-40°, m. 40°, of 2,3,4,5-H2N(MeO)3C6HCO2H (III). II.HCl
    m. 164°. Reduction of I in 1:2 AcOH-iso-PrOH gave 10% III iso-Pr
    ester, m. 111° (iso-PrOH). II (5 g.) in 50 cc. iso-PrOH and 10 cc.
    N2H4.H2O was refluxed 8 hrs. and the mixture concentrated in vacuo to give 82%
    hydrazide (IV) of III, m. 117° (decomposition) (C6H6). IV (2 g.) in 1
    1. iso-PrOH was refluxed with Raney Ni to end of formation of NH3, and the
    mixture filtered hot and concentrated to crystallization to give 77% amide of
III, m.
     134° (cyclohexane). II (8 g.) heated a short time with 20 cc. Ac20
    or (F3CCO)20, gave resp. the N-Ac derivative (V), m. 93-4^{\circ} (aqueous
     iso-PrOH) (65% yield), and the N-OCCF3 derivative (VI), m. 77-8°
     (cyclohexane) (77% yield). II (8 g.) in 100 cc. dioxane and 4 cc. C5H5N
    was treated with equimol. amts. of RCOCl in 20 cc. dioxane, the mixture
    heated at 100°, filtered from C5H5N.HCl, evaporated in vacuo, and the
    residue recrystd. The following N-OCR derivs. of II were prepared (R, m.p.,
    and % yield given): Ph, 95° (cyclohexane), 75 (VII); p-ClC6H4,
     111-12° (iso-PrOH), 60; PhCH2, 100° (cyclohexane), 91;
    Ph(CH2)3, 114° (cyclohexane), 90; -C :- CH.CH:CH.O, 108°
     (aqueous iso-PrOH), 66; 3-C5H4N, an oil (not purif.), -. The N-acyl derivs.
     of II and a 10 mole excess of N2H4.H2O in iso-PrOH were refluxed 10 hrs.
     and concentrated in vacuo to crystallization to yield the
2-R-substituted-3-amino-6,7,8-
     trimethoxy-4-quinazolone (R, m.p., and % yield given): Me, 155°
     (C6H6), 71 (VIII); Ph, 170° (isoPrOH), 90 (IX); p-C6H4, 191°
     (cyclohexane-C6H6), 65; PhCH2, 142° (iso-PrOH), 70; Ph(CH2)3,
     92° (C6H6), 98; -C:CH.CH:CH.O, 189° (decomposition) (iso-PrOH),
     77; 3-C5H4N, 186° (decomposition) (iso-PrOH), 50. In this reaction, VI
     formed only 2,3,4,5-F3CCOHN(MeO)3C6HCO-NHNH2. Equimol. amts. of VIII and
     OHCC:CH.CH:CH.NH, warmed and cooled gave 63% crystals of
     3-(2-pyrrylideneamino)-2-methyl-6,7,8-trimethoxy-4-quinazolone, m.
     215° (dioxane). Deamination of IX with Raney Ni in iso-PrOH gave
     72% 2-phenyl-6,7,8-trimethoxy-4-quinazolone (X), m. 245° (C6H6 or
     iso-prOH). An Ac20 solution of 1,2,3,4,5-(HO2C)2(MeO)3C6H (XI) was heated to
     boiling and the mixture evaporated in vacuo to give the anhydride (XII), m.
     140° (C6H6) (77% yield). XII, refluxed with excess N2H4. H2O and
     the mixture concentrated yielded 72% 6,7,8-trimethoxy-1,4-
     dioxotetrahydrophthalazine, m. 235° (aqueous MeOH). To 2.9 g. LiAlH4
     in tetrahydrofuran was added dropwise 5 g. XI in tetrahydrofuran, the
     mixture refluxed 4 hrs., kept 10 hrs. at room temperature, excess LiAlH4
decomposed,
     the mixture poured on ice, saturated with NaCl, extracted with CHCl3, and the
     solvent distilled to give 82% 1,2,3,4,5-(HOCH2)2(MeO)3C6H (XIII), m.
     78-9° (iso-Pr20). Treating an MeaCO solution of 1,2,3-(HO)3C6H3 and
     Me2SO4 with K2CO3 gave 60% 1,2,3-(MeO)3C6H3 (XIV), b12 117°, m.
     45°. HCl was bubbled with strong stirring into 50 g. XIV and 20 g.
     paraformaldehyde in 200 cc. AcOH 5 hrs. at 35°, the mixture poured on
     ice, the oily layer separated, the aqueous layer extracted with Et20, and the
combined
     organic phases washed successively with H2O, 10% Na2CO3, H2O, dried, and
     fractionated to give 50% 1,5,2,3,4-(ClCH2)2(MeO)3C6H (XV), b10
     167°, m. 43°. XLV (100 g.) was added slowly at -5°
     to 46.5 g. paraformaldehyde in 600 cc. 64% HBr, the mixture stirred 1 hr. at
     0°, 3 hrs. at 40°, and worked up as for XV to produce
     1,5,2,3,4-(BrCH2)2(MeO)3C6H (XVI), m. 58.5°, b4 165-70°, in
     20-40% yield. XVI and Me3N gave 62% the bis-Me3N salt, m. about
     190° (iso-PrOH) (decomposition). Similarly, the bis-Et3N salt, decomposing
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about 179° (50% EtOH), and the bis-3-H2NOCC5H4N salt, decomposing about 180° (50% EtOH) were prepared in 82 and 95% yields resp. XV and NaI in Me2CO gave 56.5% 1,5,2,3,4-(ICH2)2(MeO)3C6H, (XVII) m. 70.5° (iso-PrOH). XVII gave bis-salts (crystallized from 50% EtOH) with (amine, % yield, and m.p. given): Me3N, 67, 183° (decomposition); Et3N, 68, 182° (decomposition); 3-H2NOCC5H4N, 82, decomposed about 125°. XV (0.06 mole) was refluxed 5 hrs. with 0.14 mole KOAc in 200 cc. AcOH, the solvent evaporated, and the residue poured into H2O, washed with H2O, and crystallized from EtOH to give quant. 1,5,2,3,4(AcOCH2)2(MeO)3C6H (XVIII), m. 101.5° (EtOH). XVIII (9 g.), 21 g. KOH, 80 cc. H2O, and 40 cc. EtOH were refluxed 3 hrs., saturated with NaCl, extracted with several 200-cc. portions of Et2O, and the Et2O exts. evaporated to give 38% 1,5,2,3,4-(HOCH2)2(MeO)3C6H (XIX), m. 78-9° (cyclohexane). XVIII (30 g.) was placed in a Soxhlet and gradually extracted into a refluxing suspension of 10 g. LiAlH4 in 1 l. absolute Et20, excess LiAlH4 decomposed with EtOAc and H2O, and the Et2O solution dried and evaporated to give 73% XIX, crystallized from iso-Pr2O. A solution of 14 g. XV and 21 g. hexamethylenetetramine was refluxed 2 hrs., treated with 15 cc. concentrated HCl, refluxed 5 min., the cooled mixture extracted with 750-cc. vols. Et20, and the dried exts. distilled to give 1,5,2,3,4(OHC)2(MeO)3C6H (XX), m. 98.5° (Et20), in 10% yield. Heating an aqueous suspension of XIX at 50-60° with aqueous KMnO4, filtering hot, and acidifying the concentrated solution with HCl gave 84% 1,5,2,3,4-(HO2C)2(MeO)3C6H (XXI) which was refluxed with SOCl2 to give the crude acid dichloride (XXII), m. 63°. Adding XXII to NH3 in MeOH, refluxing, cooling, and filtering gave the diamide of XXI, m. 221° (iso-PrOH) in 93% yield. Similarly XXII and p-ClC6H4NH2 in dioxane and N-methylmorpholine gave 85% the di-p-chloroanilide of XXI, m. 250° (dioxane). XXII (11 g) was stirred at 0° into an Et2O solution containing 3 times the theoretical amount of CH2N2, and kept 10-15 hrs. at 0° to precipitate 62% 1,5,2,3,4(N2CHCO)2(MeO)3C6H, m. 103° (decomposition) (cyclohexane). The infrared spectra of some of the compds. were determined Converting IX to Xpermitted the formation of the tautomers (-C:ONH- .dblharw. -HOC:N-), shown by broad absorption bands at 3.15 and 3.30 $\ensuremath{\mu}.$ 106883-24-3P, 4(3H)-Quinazolinone, 3-amino-2-(2-furyl)-6,7,8trimethoxy-RL: PREP (Preparation) (preparation of) 106883-24-3 CAPLUS

MeO NH2

INDEX NAME)

IT

RN

CN

L4 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1957:39274 CAPLUS

DOCUMENT NUMBER: 51:39274
ORIGINAL REFERENCE NO.: 51:7380a-i

TITLE: Synthesis of 2,3,5,6-substituted 4-pyrimidones

4(3H)-Quinazolinone, 3-amino-2-(2-furyl)-6,7,8-trimethoxy- (6CI)

AUTHOR(S): Staskun, Benjamin; Stephen, Henry

Univ. Witwatersrand Johannesburg, S. Afr. CORPORATE SOURCE: Journal of the Chemical Society (1956) 4708-10 SOURCE: CODEN: JCSOA9; ISSN: 0368-1769 Journal DOCUMENT TYPE: Unavailable LANGUAGE: CASREACT 51:39274 OTHER SOURCE(S): 2,3,5,6-Substituted 4-pyrimidones (I) were readily synthesized by condensation of imidoyl chlorides (II) with Me or Et α -alkyl- β aminocrotonates (III). The following general procedure was used: II (0.01 mole) and III (0.005, 0.01, or 0.02 mole) were refluxed 3-4 hrs. in 40 cc. dry CHCl3 (method A) or allowed to remain at room temperature 2-3 days (method B). In some cases II and III were heated in the absence of a solvent (method C), HCl and alc. being evolved. The products were acidified with dilute HCl and steam distilled; this hydrolyzed any unchanged ester to steam volatile or H2O soluble products, and converted unchanged II to the amide. After cooling, the latter was removed, and the filtrate treated with C and NH3 deposited crude I which crystallized from dilute MeOH or alc. in colorless needles. The following I were prepared by the above methods (R and R substituents in II (RCCl:NR'), R'' and X in III (MeC(NH2):CR''CO2X), molar ratio II:III, method, reaction temperature, reaction time in hrs., % yield, and m.p. given): Ph, Ph, Me, Me, 1:1, C, 140°, 0.5, -, -; Ph, Ph, Me, Et, 1:1, C, 140°, 0.5, 45, 157°; Ph, Ph, Et, Et, 1:2, A, -, 4, 79, 159°; Ph, o-C6H4Me, Me, Me, 1:1, A, -, 3, 53, 114°; Ph, o-C6H4Me, Et, Et, 1:2, A, -, 4, 80, 152°; Ph, m-C6H4Me, Me, Me, 1:1, C, 100°, 0.5, 31, 129°; Ph, m-C6H4Me, Me, Et, 1:1, C, 100°, 0.5, 28, -; Ph, m-C6H4Me, Et, Me, 1:1, C, 100°, 0.5, 77, 136°; Ph, m-C6H4Me, Et, Et, 1:2, A, -, 3, -, -; Ph, p-C6H4Me, Me, Me, 1:2, A, -, 3, 77, 146°; Ph, p-C6H4Me, Et, Et, 1:2, B, -, 3, 75, 152°; Ph, 2,4,1-Me2C6H3, Me, Me, 2:1, A, -, 3, 83, 152°; Ph, 2,4,1-Me2C6H3, Me, Et, 2:1, A, -, 3, -, -; Ph, 2,4,1-Me2C6H3, Et, Et, 2:1, A, -, 3, 83, 146°; Ph, p-MeOC6H4, Et, Et, 1:2, B, -, 3, 81, 161°; Ph, p-MeOC6H4, Pr, Me, 1:2, C, 155°, 0.5, 55, 163°; Ph, m-O2NC6H4, Me, Me, 1:2, C, 140°, 0.5, 62, 159°; Ph, m-O2NC6H4, Me, Et, 1:2, C, 140°, 0.5, 34, -; Ph, m-O2NC6H4, Et, Me, 1:2, C, 140°, 0.5, 24, 160°; Ph, m-O2NC6H4, Et, Et, 1:2, C, 140°, 0.5, 38, -; Ph, 1-C10H7, Me, Et, 1:2, A, -, 3, 64, 174°; Ph, 2-C10H7, Me, Et, 1:2, A, -, 3, 50, 189°; Ph, 2-ClOH7, Et, Et, 1:2, A, -, 3, 40, 184°; Ph, o-C6H4Cl, Me, Et, 2:1, A, -, 3, 13, 151°; Ph, o-C6H4Cl, Et, Et, 2:1, C, 170°, 0.5, 32, 192°; Ph, m-C6H4Cl, Me, Me, 1:1, C, 150°, 0.5, 35, 152°; Ph, p-C6H4Cl, Et, Et, 1:2, C, 185°, 0.5, 59, 148°; Ph, p-C6H4Cl, Pr, Me, 1:2, C, 185°, 0.5, 37, 154°; Ph, Et, Et, Et, 1:2, B, -, 3, 73, 82°; Ph, Et, Me, Et, 1:2, B, -, 3, 51, 118°; o-C6H4Me, Ph, Me, Me, 2:1, A, -, 3, 80, 112°; o-C6H4Me, Ph, Et, Et, 2:1, A, -, 3, 74, 137°; p-C6H4Cl, Ph, Et, Et, 1:2, C, 155°, 0.5, 67, 146°; p-C6H4Cl, Ph, Pr, Me, 1:2, C, 155°, 0.5, 21, 151°; 3,4,5-(MeO)3C6H2, Ph, Me, Me, 1:2, A, -, 3, 20, 181°; 3,4,5-(MeO)3C6H2, Ph, Et, Et, 1:2, A, -, 3, 37, 129°. The synthesis of I was modified by preparing II by rearrangement of ketoximes (IV) with PCl5. The following procedures were used. A solution of IV (0.01 mole) in 50 cc. CHCl3 was treated at 0° with 0.01 mole PCl5, the whole shaken 1-2 min., and the solution treated by one of the following procedures. The solution refluxed 15 min. to complete the rearrangement of IV, the III (0.02-0.03 mole) added in 10 cc. CHCl3, and reflux continued

2-3 hrs. (method D). Alternatively, the solution after remaining 2 hrs. at room temperature was cooled to 10°, the III (0.02-0.03 mole) in 10 cc. CHCl3 added, and the mixture left 1-2 days at room temperature (method E). Solution of rearranged IV, after 2 hrs. at room temperature was distilled at $40\text{-}5^\circ/30\text{ min.}$, then stored

1-2 days with 0.02-0.03 mole III, and the products treated as previously described. I were crystallized as colorless needles from MeOH or alc. The following results were obtained (IV, R'' in III, method, % yield, and m.p. of I given): PhMeC:NOH, Et, E, 65, 126°; (p-MeC6H4)MeC:NOH, Et, E, 65, 82°; (p-MeC6H4)MeC:NOH, Me, D, 65, 146°; 2-C10H7CMe:NOH, Et, F, 65, 130°; PhPrC:NOH, Et, E, 72, 106°; PhPrC:NOH, Me, E, 35, 73°; (p-MeC6H4)2C:NOH, Me, F, 73, 128°; (p-MeC6H4)2C:NOH, Et, F, 60, 140°; Ph2C:NOH, Et, D, 55, 157°. Improved yields of I were obtained by using excess II or III. 101883-87-8 108124-39-6 109980-88-3 IT 110193-97-0 110193-98-1 110194-40-6 111797-46-7 111797-47-8 111798-21-1 (Derived from data in the 6th Collective Formula Index (1957-1961)) RN 101883-87-8 CAPLUS 4(3H)-Quinazolinone, 3-(p-chlorophenyl)-2-(5-methyl-2-furyl)- (6CI) (CA CNINDEX NAME)

RN 108124-39-6 CAPLUS CN 4(3H)-Quinazolinone, 3-(p-bromophenyl)-2-(5-methyl-2-furyl)- (6CI) (CA INDEX NAME)

RN 109980-88-3 CAPLUS CN 4(3H)-Quinazolinone, 3-(p-ethoxyphenyl)-2-(5-methyl-2-furyl)- (6CI) (CA INDEX NAME)

RN 110193-97-0 CAPLUS

CN Benzoic acid, o-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]-, methyl ester (6CI) (CA INDEX NAME)

RN 110193-98-1 CAPLUS

CN Benzoic acid, p-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]-, methyl ester (6CI) (CA INDEX NAME)

RN 110194-40-6 CAPLUS

CN Benzoic acid, m-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]-, methyl ester (6CI) (CA INDEX NAME)

RN 111797-46-7 CAPLUS CN Benzoic acid, o-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]- (6CI) (CA INDEX NAME)

RN 111797-47-8 CAPLUS
CN Benzoic acid, p-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]- (6CI)
(CA INDEX NAME)

RN 111798-21-1 CAPLUS CN Benzoic acid, m-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]- (6CI) (CA INDEX NAME)

ANSWER 38 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

1957:39273 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 51:39273

ORIGINAL REFERENCE NO.: 51:7379i,7380a

Furylquinazolines. 2-(5-Methyl-2-furyl)-3-aryl-4-TITLE:

quinazolones

AUTHOR (S): Pappalardo, G.; Tornetta, B.

Univ. Catania, Italy CORPORATE SOURCE:

Bollettino delle Sedute della Accademia Gioenia di SOURCE:

Scienze Naturali in Catania (1955), 3, 59-64

CODEN: BOGCAB; ISSN: 0366-1768

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

For diagram(s), see printed CA Issue.

By the method of Grimmel, et al. (C.A. 40, 34574), the following o-C6H4.CO.N(C6H4X).CY:N (Y = 5-methyl-2-furyl), where X is H, p-Me, p-MeO, p-EtO, p-Cl, p-Br, o-HO2C, m-HO2C, p-HO2C (I), o-MeO2C, m-MeO2C (II), or p-MeO2C, were prepared, m. 235°, 216°, 232°, 220°, 239°, 245°, 228°, 268°,

271°, 210°, 178°, and 213°, resp. The

substances were purified by crystallization (needles, prisms, rhombs) from 75% AcOH (absolute MeOH for I and II) in yields of 60, 40, 42, 58, 48, 58, 76, 72, 49, 63, 45, and 42%, resp.

128373-25-1, 4(3H)-Quinazolinone, 2-(5-methyl-2-furyl)-IT (3-aryl derivs.)

RN128373-25-1 CAPLUS

4(1H)-Quinazolinone, 2-(5-methyl-2-furanyl)- (9CI) (CA INDEX NAME) CN

101883-87-8P, 4(3H)-Quinazolinone, 3-(p-chlorophenyl)-2-(5-methyl-2-furyl) - 101936-35-0P, 4(3H)-Quinazolinone, 2-(5-methyl-2-furyl)-3-phenyl- 102007-00-1P, 4(3H)-Quinazolinone, 2-(5-methyl-2-furyl)-3-p-tolyl- 102007-27-2P , 4(3H)-Quinazolinone, 3-(p-methoxyphenyl)-2-(5-methyl-2-furyl)-108124-39-6P, 4(3H)-Quinazolinone, 3-(p-bromophenyl)-2-(5-methyl-2RN

CN

furyl) - 109980-88-3P, 4(3H)-Quinazolinone, 3-(p-ethoxyphenyl)-2(5-methyl-2-furyl) - 110193-97-0P, Benzoic acid,
o-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]-, methyl ester
110193-98-1P, Benzoic acid, p-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]-, methyl ester 110194-40-6P, Benzoic acid,
m-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]-, methyl ester
11797-46-7P, Benzoic acid, o-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]- 111797-47-8P, Benzoic acid, p-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]- 111798-21-1P, Benzoic acid,
m-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]RL: PREP (Preparation)
 (preparation of)
101883-87-8 CAPLUS
4(3H)-Quinazolinone, 3-(p-chlorophenyl)-2-(5-methyl-2-furyl)- (6CI) (CA INDEX NAME)

RN 101936-35-0 CAPLUS CN 4(3H)-Quinazolinone, 2-(5-methyl-2-furyl)-3-phenyl- (6CI) (CA INDEX NAME)

RN 102007-00-1 CAPLUS CN 4(3H)-Quinazolinone, 2-(5-methyl-2-furyl)-3-p-tolyl- (6CI) (CA INDEX NAME)

RN 102007-27-2 CAPLUS CN 4(3H)-Quinazolinone, 3-(p-methoxyphenyl)-2-(5-methyl-2-furyl)- (6CI) (CA INDEX NAME)

RN 108124-39-6 CAPLUS CN 4(3H)-Quinazolinone, 3-(p-bromophenyl)-2-(5-methyl-2-furyl)- (6CI) (CA INDEX NAME)

RN 109980-88-3 CAPLUS CN 4(3H)-Quinazolinone, 3-(p-ethoxyphenyl)-2-(5-methyl-2-furyl)- (6CI) (CA INDEX NAME)

RN 110193-97-0 CAPLUS
CN Benzoic acid, o-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]-, methyl ester (6CI) (CA INDEX NAME)

RN 110193-98-1 CAPLUS
CN Benzoic acid, p-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]-, methyl ester (6CI) (CA INDEX NAME)

RN 110194-40-6 CAPLUS
CN Benzoic acid, m-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]-, methyl ester (6CI) (CA INDEX NAME)

RN 111797-46-7 CAPLUS CN Benzoic acid, o-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]- (6CI) (CA INDEX NAME)

RN 111797-47-8 CAPLUS CN Benzoic acid, p-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]- (6CI) (CA INDEX NAME)

RN 111798-21-1 CAPLUS CN Benzoic acid, m-[2-(5-methyl-2-furyl)-4-oxo-3(4H)-quinazolinyl]- (6CI) (CA INDEX NAME)

$$R \longrightarrow Me$$

L4 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1955:8296 CAPLUS

DOCUMENT NUMBER: 49:8296
ORIGINAL REFERENCE NO.: 49:1731a-c

TITLE: Furyl quinazolines-2-(2-furyl)-3-aryl-4-quinazolones

AUTHOR(S): Andrisano, Renato; Pappalardo, Giovanni

CORPORATE SOURCE: Univ. Catania, Italy

SOURCE: Annali di Chimica (Rome, Italy) (1953), 43, 723-6

CODEN: ANCRAI; ISSN: 0003-4592

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

Based on the concept that a quaternary C bonded to a tertiary N confers high anesthetic activity to a compound, a number of 2-(2-fury1)-3-ary1-4quinazolones were prepared The scheme of Grimmel, et al. (C.A. 40, 3457.4) of condensing N-furoylanthranilic acid with aromatic amines with PCl3 was used, except for the o- and m-H2NC6H4CO2H, which did not condense by this procedure. Such derivs. were prepared by hydrolysis of the Me esters. o-H2NC6H4CO2H(0.1 mole) in 400 cc. C6H6 and 0.1 mole Na2CO3 was dropped 0.1 mole furoyl chloride, the mixture refluxed 1 hr., and the separated solid dissolved in H2O and acidified, to give 66% N-(2-furoyl)anthranilic acid (I), m. 218°. To a suspension of 0.1 mole I in 200 cc. PhMe and 0.1 mole aryl amine was added in 15 min., dropwise, 20 cc. of 4.6 g. (0.033 mole) PCl3 in PhMe, the mixture refluxed 2 hrs., made alkaline with Na2CO3, and cooled gave a solid product upon evaporating the solvent. A series of new compds. were prepared in which the 3-aryl group possessed a substituent X, as follows: X=H, m. 215; p-Me, m. 228; p-OMe, m. 204; p-OEt, m. 216; p-Cl, m. 205; p-Br, m. 200; o-CO2H, m. 245; m-CO2H, m. 249; p-CO2H, m. 265; o-CO2Me, m. 180; m-CO2Me, m. 213; p-CO2Me, m. 235 (m.ps. in °C.). 26059-84-7, 4(3H)-Quinazolinone, 2-(2-furyl)-IT (3-aryl derivs.)

RN 26059-84-7 CAPLUS CN 4(1H)-Quinazolinone, 2-(2-furanyl)- (9CI) (CA INDEX NAME)

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35868-41-8P, 4(3H)-Quinazolinone, 2-(2-furyl)-3-(p-methoxyphenyl)-
IT
     62820-49-9P, 4(3H)-Quinazolinone, 2-(2-furyl)-3-phenyl-
     62820-50-2P, 4(3H)-Quinazolinone, 2-(2-furyl)-3-p-tolyl-
     62820-51-3P, 4(3H)-Quinazolinone, 3-(p-ethoxyphenyl)-2-(2-furyl)-
     63314-19-2P, 4(3H)-Quinazolinone, 3-(p-chlorophenyl)-2-(2-furyl)-
     330188-78-8P, 4(3H)-Quinazolinone, 3-(p-bromophenyl)-2-(2-furyl)-
     857538-29-5P, 4(3H)-Quinazolinone, 3-[p-carboxyphenyl]-2-(2-furyl)-
        857538-31-9P, Benzoic acid, o-[2-(2-furyl)-4-oxo-3-(4H)-
     quinazolinyl]-, methyl esters 857538-33-1P, 4(3H)-Quinazolinone,
     3-[m-carboxyphenyl]-2-(2-furyl)-
     RL: PREP (Preparation)
        (preparation of)
RN
     35868-41-8 CAPLUS
    4(3H)-Quinazolinone, 2-(2-furanyl)-3-(4-methoxyphenyl)- (CA INDEX NAME)
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RN 62820-49-9 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-phenyl- (CA INDEX NAME)

RN 62820-50-2 CAPLUS

CN 4(3H)-Quinazolinone, 2-(2-furanyl)-3-(4-methylphenyl)- (CA INDEX NAME)

RN 62820-51-3 CAPLUS

CN 4(3H)-Quinazolinone, 3-(4-ethoxyphenyl)-2-(2-furanyl)- (CA INDEX NAME)

RN 63314-19-2 CAPLUS

CN 4(3H)-Quinazolinone, 3-(4-chlorophenyl)-2-(2-furanyl)- (CA INDEX NAME)

RN 330188-78-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-(4-bromophenyl)-2-(2-furanyl)- (CA INDEX NAME)

RN 857538-29-5 CAPLUS

CN Benzoic acid, 4-[2-(2-furanyl)-4-oxo-3(4H)-quinazolinyl]- (CA INDEX NAME)

RN 857538-31-9 CAPLUS

CN Benzoic acid, o-[2-(2-furyl)-4-oxo-3-(4H)-quinazolinyl]- (5CI) (CA INDEX NAME)

RN 857538-33-1 CAPLUS

CN Benzoic acid, m-[2-(2-furyl)-4-oxo-3-(4H)-quinazolinyl]- (5CI) (CA INDEX

NAME)

L4 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1951:21794 CAPLUS

DOCUMENT NUMBER: 45:21794
ORIGINAL REFERENCE NO.: 45:3852c-g

TITLE: Furylquinazolines. III. 4-Substituted

2-furyl-4-chloroquinazolines

AUTHOR(S): Andrisano, R.; Modena, G. CORPORATE SOURCE: Univ., Bologna, Italy

SOURCE: Gazzetta Chimica Italiana (1950), 80, 321-4

CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal LANGUAGE: Unavailable OTHER SOURCE(S): CASREACT 45:21794

cf. C.A. 45, 1601d; following abstract In view of the high anti-malarial power of 4-(4-diethylamino-1-methylbutylamino)-7-chloroquinazoline (cf. Price, et al., C.A. 40, 5747.4), its 2-(2-furyl) derivative (I) was prepared 4,2-Cl(H2N)C6H3CO2H (10 g.) and 12 g. Et 2-furancarboximidate [cf. Ber. 25, 1416(1892)], heated 2 hrs. at 200°, the product taken up in MeOH, filtered, and the residue purified by AcOH, yield 2-(2-furyl)-4-hydroxy-7-chloroquinazoline (II), m. 276°. II (10 g.) in 80 cc. POCl3 and 14 g. PCl5, refluxed 90 min., distilled in vacuo, the residue taken up in ice water, neutralized with NH4OH, filtered, and the residue extracted with C6H6, yields 9.5 g. (88%) of 2-(2-furyl)-4,7dichloroquinazoline (III), m. 137°. III (5.3 g.) and 6.4 g. H2NCHMeCH2CH2CH2NEt2 in 80 cc. C6H6, neutralized by Na2CO3, refluxed 3 hrs., and the product steam-distilled, yield almost 100 % I, m. 112°. With alc. picric acid, it forms a picrate, C33H33O15N1OCl, m. 199°. Since the Cl in the 4-position in III, like that in the chloroquinazolines already described (cf. C.A. 45, 1600f) is reactive with nucleophilic agents, 6 compds. were prepared by replacement of the Cl. III (0.01 mol.) and NaOMe (from 0.03 atom Na in 40 cc. MeOH), refluxed 30 min., diluted with water, and the precipitate purified by ligroin, yields 2-(2-furyl)-4-methoxy-7chloroquinazoline, m. 130°. III (0.01 mol.) in 20 cc. dioxane and NaOPh (from 0.03 atom Na in 12 g. PhOH), refluxed 30 min., poured into water, NaOH added, and the precipitate purified by aqueous EtOH, yield 100% of

4-phenoxy analog, m. 140°. Four arylamino derivs. were prepared in high yields by refluxing 0.01 mol. III and 0.02 mol. of the resp. arylamine 1 hr. in C6H6, making alkaline with Na2CO3, and steam-distilling 2-(2-Furyl)-4-phenylamino-7-chloroquinazoline, m. 170° (from EtOH); 4-tolylamino analog, m. 201° (from ligroin); 4-methoxyphenylamino analog, m. 189° (from EtOH); 4-ethoxyphenylamino analog, m.

180° (from EtOH). TT 412342-08-6P, 4-Quinazolinol, 7-chloro-2-(2-furyl)- RN

RL: PREP (Preparation) (preparation of) 412342-08-6 CAPLUS

4(1H)-Quinazolinone, 7-chloro-2-(2-furanyl)- (9CI) (CA INDEX NAME) CN

ANSWER 41 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

1951:8789 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 45:8789 ORIGINAL REFERENCE NO.: 45:1601c-g

Furylquinazolines. II. 4-Substituted TITLE:

2-furyl-6-methylquinazolines

Andrisano, R.; Modena, G. AUTHOR (S): Univ., Bologna, Italy CORPORATE SOURCE:

Bollettino Scientifico della Facolta di Chimica SOURCE:

Industriale di Bologna (1950), 8, 1-3

CODEN: BSFCAY; ISSN: 0366-3205

Journal DOCUMENT TYPE: Unavailable LANGUAGE:

cf. preceding abstract 5.2-Me(H2N) C6H3CO2Me (22 g.) and 24 g. Et 2-furanacetimidate (cf. Pinner, Ber. 25, 1416(1892)), heated at 200° for 1.5 hrs., taken up in MeOH after cooling, filtered, washed, and dried, yield 18.5 g. (61%) 2-furyl-4-hydroxy-6methylquinazoline (I), silky needles from EtOH, m. 257°. I (16.8 g.) is refluxed with 100 cc. POCl3 and 24 g. PCl5 for 1.5 hrs., the excess POC13PC15 removed under reduced pressure, the residue taken up with H2O and ice, neutralized with NH4OH, filtered, washed, and dried to yield after recrystn. from C6H6 14 g. (77%) 4-Cl analog (II), prisms from ligroin, m. 144°. Refluxing 5 g. II and 6.5 g. Et2N(CH2)3CHMeNH2 in 75 cc. C6H6, and removing the C6H6 and excess base with steam gives in almost quant. yield the 4-(5-diethylamino-2-pentylamino) analog, needles, b9 280°, m. 144° (from ligroin); picrate, needles from EtOH, m. 180°. II (0.01 mol.), refluxed with 0.03 atom Na in 40 cc. MeOH for 0.5 hr. and poured into H2O, yields almost quantitatively the 4-MeO analog, colorless prisms from ligroin, m. 116°. Similarly, 0.01 mol. II, 0.03 atom Na, and 12 g. PhOH in 20 cc. dioxane give the 4-PhO analog, colorless prisms from ligroin, m. 141°. The following 2-furyl-4-arylamino-6-methylquinazolines are obtained in almost quant. yield by refluxing 0.01 mol. II with 0.02 mol. of the corresponding arylamine in 40 ml. C6H6, making alkaline with Na2CO3, and removing the solvent and excess amine with steam: PhNH, needles from aqueous EtOH, m. 180°; MeC6H4NH, needles from EtOH, m. 140°; p-MeOC6H4NH, needles from ligroin, m. 156°; p-EtOC6H4NH, silky needles from MeOH, m. 126°.

858236-99-4P, 4-Quinazolinol, 2-(2-furyl)-6-methyl-TТ

RL: PREP (Preparation) (preparation of)

RN 858236-99-4 CAPLUS

4-Quinazolinol, 2-(2-furyl)-6-methyl- (5CI) (CA INDEX NAME) CN

L4 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1951:8788 CAPLUS

DOCUMENT NUMBER: 45:8788

ORIGINAL REFERENCE NO.: 45:1600f-i,1601a-c

TITLE: Furylquinazolines. I. 4-Substituted

2-furylquinazolines

AUTHOR(S): Andrisano, Renato; Modena, G.

CORPORATE SOURCE: Univ. Bologna, Italy

SOURCE: Gazzetta Chimica Italiana (1950), 80, 228-33

CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

cf. following abstract In view of the plasmocidal action of quinazoline derivs. containing a pentylamine side chain (cf. Endicott, et al., C.A. 40, 5748.3; Price, et al., C.A. 40, 5747.4), some 2-furylquinazoline derivs. were prepared to study their anti-malarial activity and the comparative influence on their pharmacol. properties of the Ph and furan ring in the quinazoline nucleus. o-H2NC6H4CO2Me (20 g.) and 20 g. OC4H3C(:NH)OEt [cf. Ber. 25, 1416(1892)], heated 3 hrs. at 210-20°, taken up in MeOH, filtered, and the residue purified by EtOH, yields 74% of 2-furyl-4-hydroxyquinazoline (I), m. 220°. Also, 10.3 g. o-H2NC6H4CO2H and 9.5 g. OC4H3C(:S)NH2 [Hantzsch, Ber. 25, 1314(1892)], heated at 150° until no more H2S is evolved, and the product treated as before, yield approx. 74% I. I (10 g.) in 80 cc. POCl3 and 14 g. PCl5, heated 100 min. (no temperature given), distilled in vacuo, the residue neutralized with NH4OH, mixed with ice water, and the crystallized product dried and extracted with C6H6, yield 9 g. (80%) of 2-furyl-4-chloroquinazoline (II). Hydrolysis by 5% alc. KOH yields I. II (4.1 g.) and 5 g. H2NCHMe(CH2)3NEt2 in 60 cc. C6H6, refluxed 3 hrs., made alkaline with Na2CO3, and steam-distilled, leave a pasty residue which could not

be crystallized even after distillation in vacuo (b16 286°). However, with alc. picric acid it formed, after purification by EtOH, a dipicrate, C33H34O15N10, m. 179°, and with H3PO4 a monohydrated diphosphate, C21H36O10N4P2, m. 210°. The wts. of these corresponded to an almost 100% yield of 2-furyl-4-(4-diethylamino-1-methylbutylamino)quinazoline (III). III is also formed by the same procedure, but in the presence of PhOH without solvent. II (0.01 mol.) and alc. NaOMe (from 0.03 atom Na in 40 cc. MeOH), refluxed 1 hr., diluted with water, extracted with Et2O, the extract evaporated, and the oil residue distilled

in vacuo (b16 212°), give, after purification by ligroin, a good yield of 2-furyl-4-methoxyquinazoline, m. 65°. II (0.01 mol.) and NaOPh (from 0.03 atom Na, 12 g. PhOH, and 20 cc. dioxane), refluxed 1 hr., poured into water, and NaOH added, give, after purification by ligroin, almost 100% of 2-furyl-4-phenoxyquinazoline (IV), m. 135°. Alc. II, treated while refluxing with anhydrous NH3 for 1 hr., diluted with water, and the precipitate purified by EtOH, yields almost 100% 2-furyl-4-aminoquinazoline, m. 225°. II (0.01 mol.) in C6H6 and 0.02 mol. of

arylamine in 40 cc. C6H6, refluxed 1 hr., made alkaline with Na2CO3, steam-distilled, and the residues purified by EtOH, yielded almost 100% of the following 2-furyl-4-(arylamino)quinazolines: NHPh, m. 115°; NHC6H4Me, m. 133°; NHC6H4OMe, m. 110°; NHC6H4OEt, m. 105°. The extreme reactivity of the Cl in II is similar to the behavior of Cl in 2,4,1-(O2N)2C10H5Cl (cf. Mangini and Frenguelli, C.A. 32, 1258.3) and the Cl in 4-chloroquinazoline (cf. Tomisek and Christiensen, C.A. 32, 1259.1). This is in harmony with the theory of Bonino and the expts. of Mangini and Frenguelli (Atti accad. sci. Bologna [10] 1, 201(1944); C.A. 33, 5398.6), and of the pharmacol. expts. of Erlenmeyer (C.A. 41, 1671g) concerning the analogy between the heterocyclic N atom and the aromatic CNO2 group, which, by strongly polarizing the electronic cloud in relation to the nuclear CCl group, increase the tendency toward replacement of the Cl.

IT 26059-84-7P, 4-Quinazolinol, 2-(2-furyl)-

RL: PREP (Preparation)

(preparation of)

RN 26059-84-7 CAPLUS

CN 4(1H)-Quinazolinone, 2-(2-furanyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1950:49306 CAPLUS

DOCUMENT NUMBER: 44:49306
ORIGINAL REFERENCE NO.: 44:9404d-h

TITLE: The utilization of furfural

AUTHOR(S): Andrisano, R.

SOURCE: Bollettino Scientifico della Facolta di Chimica

Industriale di Bologna (1949), 7, 58-62

CODEN: BSFCAY; ISSN: 0366-3205

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

cf. C.A. 43, 7929hi. The following researches are in progress: Et 5(chloromethyl)furoate (I), prepared by chloromethylation of Et furoate, is converted by RONa into Et 5-alkoxymethyl-2-furoates and thence to the 2-furoylacetates, which are condensed with thiourea to give 6-(5-alkoxymethyl-2-furyl)-2-thiouracils that probably exhibit antithyroid activity (C.A. 42, 3411b); I reacts with PhNH2 and substituted anilines to give Et 5-(anilinomethyl)furoates, of possible utility as vulcanization accelerators; I can be reduced to Et 5-methylfuroate (II), and this is saponified to the free acid; I is oxidized with HNO3 to 2,5-furandicarboxylic acid, of which the allyl and glycol diesters have been prepared; II is converted to MeC4H2OCOCH2CO2Et (III); C4H3OCOCH2CO2Et condenses with aromatic amines, ArNH2, in 2 ways, according to the conditions, giving either C4H3OCOCH2CONHAr (IV) or C4H3OC(:NAr) CH2CO2Et (V); III gives the analogous MeC4H2OCOCH2CONHAr (VI) and MeC4H2OC(:NAr)CH2CO2Et; IV can be cyclized to 2-hydroxy-4-furylquinolines; V can be cyclized to 2-furyl-4-hydroxyquinolines that may serve as intermediates in the synthesis of antimalarials; IV is condensed with ArN2Cl to C4H3OCOCH(N:NAr)CONHAr and with (p-C6H4N2Cl)2 to give [

C4H3OCOCH(CONHAr)N:NC6H4]2 compds. that are yellow or brown in color and may be used in the fast dyeing of cotton; VI gives similar condensation products; C4H3OC(:NH)OEt is condensed with Me esters of 2-amino-,2-amino-5-methyl-, and 2-amino-4-chlorobenzoic acids to give the corresponding 2-furyl-4-hydroxyquinazolines, which can be converted to the 4-Cl compds. and then condensed with Et2N(CH2)3CHMeNH2 to yield potential antimalarials. No exptl. details are given.

IT 26059-84-7, 4-Quinazolinol, 2-(2-furyl)-

(derivs.)

RN 26059-84-7 CAPLUS

CN 4(1H)-Quinazolinone, 2-(2-furanyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & O \\ \hline & N & O \\ \hline & O & \end{array}$$

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(FILE 'HOME' ENTERED AT 17:13:29 ON 10 MAR 2008)

FILE 'REGISTRY' ENTERED AT 17:13:40 ON 10 MAR 2008

L1 STRUCTURE UPLOADED

L2 14 S L1

L3 205 S L1 FUL

FILE 'CAPLUS' ENTERED AT 17:14:24 ON 10 MAR 2008

L4 43 S L3

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COST IN U.S. DOLLARS
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SINCE FILE TOTAL
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